Connecting via Winsock to STN

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	APR 02	CAS Registry Number Crossover Limits Increased to 500,000 in Key STN Databases
NEWS 3	APR 02	PATDPAFULL: Application and priority number formats enhanced
NEWS 4	APR 02	DWPI: New display format ALLSTR available
NEWS 5	APR 02	New Thesaurus Added to Derwent Databases for Smooth Sailing through U.S. Patent Codes
NEWS 6	APR 02	EMBASE Adds Unique Records from MEDLINE, Expanding Coverage back to 1948
NEWS 7	APR 07	CA/CAplus CLASS Display Streamlined with Removal of Pre-IPC 8 Data Fields
NEWS 8	APR 07	50,000 World Traditional Medicine (WTM) Patents Now Available in CAplus
NEWS 9	APR 07	MEDLINE Coverage Is Extended Back to 1947
NEWS 10	JUN 16	WPI First View (File WPIFV) will no longer be available after July 30, 2010
NEWS 11	JUN 18	DWPI: New coverage - French Granted Patents
NEWS 12	JUN 18	CAS and FIZ Karlsruhe announce plans for a new STN platform
NEWS 13	JUN 18	IPC codes have been added to the INSPEC backfile (1969-2009)
NEWS 14	JUN 21	Removal of Pre-IPC 8 data fields streamline displays in CA/CAplus, CASREACT,and MARPAT
NEWS 15	JUN 21	Access an additional 1.8 million records exclusively enhanced with 1.9 million CAS Registry Numbers -- EMBASE Classic on STN
NEWS 16	JUN 28	Introducing "CAS Chemistry Research Report": 40 Years of Biofuel Research Reveal China Now Atop U.S. in Patenting and Commercialization of Bioethanol
NEWS 17	JUN 29	Enhanced Batch Search Options in DGENE, USGENE, and PCTGEN
NEWS 18	JUL 19	Enhancement of citation information in INPADOC databases provides new, more efficient competitor analyses
NEWS 19	JUL 26	CAS coverage of global patent authorities has expanded to 61 with the addition of Costa Rica

NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,
AND CURRENT DISCOVER FILE IS DATED 07 JULY 2010.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:10:54 ON 09 AUG 2010

=> file reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 13:11:25 ON 09 AUG 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 AUG 2010 HIGHEST RN 1235544-80-5
DICTIONARY FILE UPDATES: 8 AUG 2010 HIGHEST RN 1235544-80-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

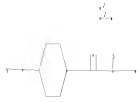
TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

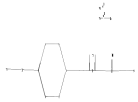
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10519113rce1.str





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chain nodes :
7  8  9  10  11  13  14  15  16  21
ring nodes :
1  2  3  4  5  6
chain bonds :
1-7  4-11  7-8  7-21  8-9  8-10  11-13  15-16
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-7  4-11  7-8  7-21  8-9  8-10  11-13  15-16
exact bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 :


G1:H,Ak

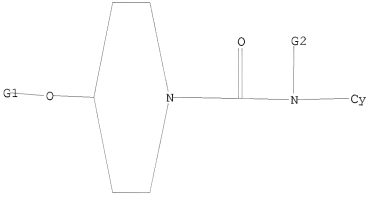
G2:[*1],[*2]

Match level :
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:CLASS
11:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 21:CLASS

L1   STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1   STR



G1 H,Ak
G2 [@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam
SAMPLE SEARCH INITIATED 13:12:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1127 TO ITERATE

100.0% PROCESSED   1127 ITERATIONS   50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS: 20526 TO   24554
PROJECTED ANSWERS: 899 TO   1901

L2   50 SEA SSS SAM L1

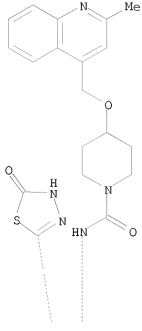
=> d scan

L2   50 ANSWERS   REGISTRY COPYRIGHT 2010 ACS on STN

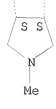
IN 1-Piperidinecarboxamide, N-[(3S,4S)-4-(4,5-dihydro-5-oxo-1,3,4-thiadiazol-2-yl)-1-methyl-3-pyrrolidinyl]-4-[(2-methyl-4-quinolinyl)methoxy]-
MF C24 H30 N6 O3 S

Absolute stereochemistry.

PAGE 1-A



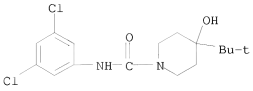
PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

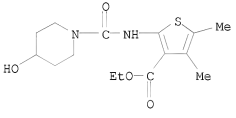
L2 50 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 1-Piperidinecarboxamide, N-(3,5-dichlorophenyl)-4-(1,1-dimethylethyl)-4-hydroxy-
MF C16 H22 Cl2 N2 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 50 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 3-Thiophenecarboxylic acid, 2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-4,5-dimethyl-, ethyl ester
MF C15 H22 N2 O4 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l1 sss full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 191.05 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 13:12:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 22088 TO ITERATE

100.0% PROCESSED 22088 ITERATIONS 1120 ANSWERS
SEARCH TIME: 00.00.01

L3 1120 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 192.03 192.25

FILE 'CAPLUS' ENTERED AT 13:12:44 ON 09 AUG 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 9 Aug 2010 VOL 153 ISS 7
FILE LAST UPDATED: 8 Aug 2010 (20100808/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l3
L4 154 L3

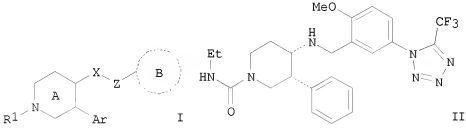
=> d ibib abs hitstr 100-154

L4 ANSWER 100 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:972057 CAPLUS
DOCUMENT NUMBER: 140:27765
TITLE: Preparation of piperidine derivatives as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence
INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Tarui, Naoki; Shirai, Junya; Yamashita, Masayuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003101964	A1	20031211	WO 2003-JP6754	20030529
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2487688	A1	20031211	CA 2003-2487688	20030529
AU 2003241903	A1	20031219	AU 2003-241903	20030529
BR 2003011425	A	20050315	BR 2003-11425	20030529
EP 1553084	A1	20050713	EP 2003-733151	20030529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

CN 1671662	A	20050921	CN 2003-818354		20030529
NZ 537330	A	20070427	NZ 2003-537330		20030529
JP 2004285038	A	20041014	JP 2003-154345		20030530
MX 2004011730	A	20050714	MX 2004-11730		20041125
US 20060167052	A1	20060727	US 2004-516252		20041129
US 7622487	B2	20091124			
ZA 2004010085	A	20060726	ZA 2004-10085		20041214
IN 2004KN01942	A	20061201	IN 2004-KN1942		20041216
NO 2004005701	A	20050216	NO 2004-5701		20041229
PRIORITY APPLN. INFO.:			JP 2002-159338	A	20020531
			JP 2003-17885	A	20030127
			WO 2003-JP6754	W	20030529

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:27765
GI



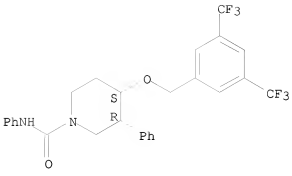
AB The title compds. I [wherein Ar = (un)substituted aryl, aralkyl, or heteroaryl; R1 = H, acyl, (un)substituted hydrocarbyl, or heterocyclyl; X = O or (un)substituted NH; Z = (un)substituted CH2; ring A = (un)substituted piperidine; ring B = (un)substituted aryl; with exclusions] or prodrugs or salts thereof are prepared I have excellent tachykinin receptor antagonistic activity, and are useful for the treatment of frequent urination and urinary incontinence (no data). For example, the compound II•xHCl was prepared in a multi-step synthesis. II showed antagonistic activity with IC50 of 0.025 nM against human substance P receptor. Formulations containing I as an active ingredient were also described.

IT 632344-35-5P 632345-55-2P 632345-57-4P
632345-61-0P 632346-22-6P 632346-24-8P
632346-28-2P 632346-69-1P 632346-71-5P
632348-39-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperidine derivs. as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence)

RN 632344-35-5 CAPLUS

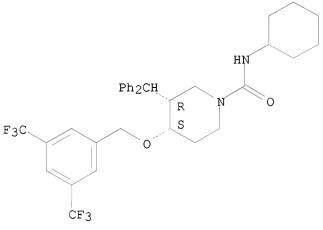
CN 1-Piperidinecarboxamide, 4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N,3-diphenyl-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



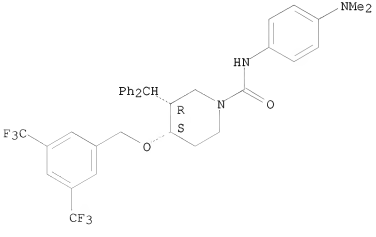
RN 632345-55-2 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-cyclohexyl-3-(diphenylmethyl)-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



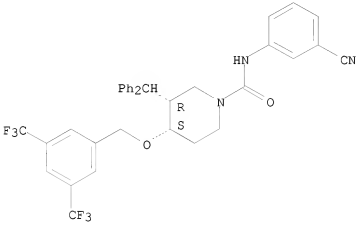
RN 632345-57-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-[4-(dimethylamino)phenyl]-3-(diphenylmethyl)-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



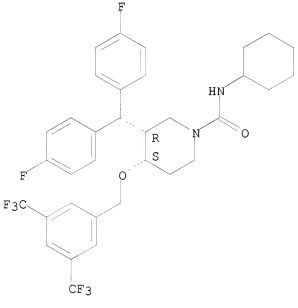
RN 632345-61-0 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-(3-cyanophenyl)-3-(diphenylmethyl)-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



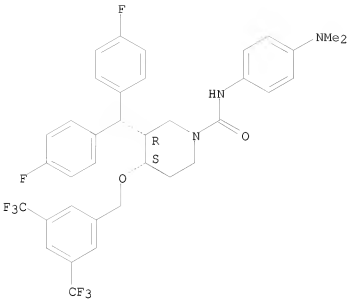
RN 632346-22-6 CAPLUS
CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-cyclohexyl-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



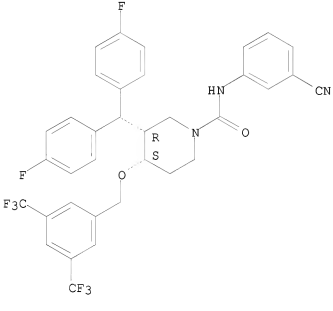
RN 632346-24-8 CAPLUS
CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-[4-(dimethylamino)phenyl]-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



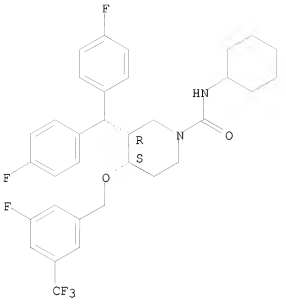
RN 632346-28-2 CAPLUS
CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-N-(3-cyanophenyl)-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



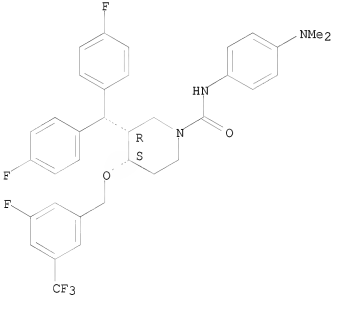
RN 632346-69-1 CAPLUS
CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-N-cyclohexyl-4-[[3-fluoro-5-(trifluoromethyl)phenyl]methoxy]-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



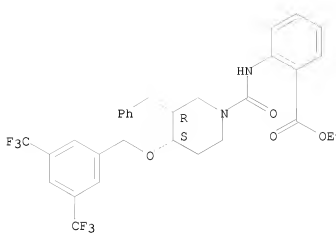
RN 632346-71-5 CAPLUS
CN 1-Piperidinecarboxamide, 3-[bis(4-fluorophenyl)methyl]-N-[4-(dimethylamino)phenyl]-4-[[3-fluoro-5-(trifluoromethyl)phenyl]methoxy]-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 632348-39-1 CAPLUS
CN Benzoic acid, 2-[[[(3R,4S)-4-[[3,5-bis(trifluoromethyl)phenyl]methoxy]-3-(phenylmethyl)-1-piperidinyl]carbonyl]amino]-, ethyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

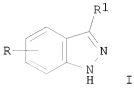


OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 101 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:931339 CAPLUS
DOCUMENT NUMBER: 140:5044
TITLE: Preparation of 3-aminoindazole derivatives as kinase inhibitors
INVENTOR(S): Martina, Katia; Brill, Wolfgang
PATENT ASSIGNEE(S): Pharmacia Italia S.P.A., Italy
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097610	A1	20031127	WO 2003-EP4862	20030508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2486101	A1	20031127	CA 2003-2486101	20030508
CA 2486101	C	20090707		
AU 2003227741	A1	20031202	AU 2003-227741	20030508
EP 1506176	A1	20050216	EP 2003-725180	20030508
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011291	A	20050329	BR 2003-11291	20030508
JP 2005534635	T	20051117	JP 2004-505343	20030508
MX 2004011417	A	20050214	MX 2004-11417	20041117
US 20060106083	A1	20060518	US 2004-990866	20041117
US 7632854	B2	20091215		

PRIORITY APPLN. INFO.: US 2002-381092P P 20020517
WO 2003-EP4862 W 20030508
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 140:5044; MARPAT 140:5044
GI

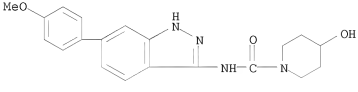


I

AB The title compds. [I; R = halo, (un)substituted alkenyl, alkynyl, (hetero)aryl (attached to position 5 or 6 of the indazole ring); R1 = N:CHNR2R3, NHCOR4, NHCONR4R5, NHSO2R4, NHCO2R4; R2, R3 = H, alkyl; R4, R5 = H, alkyl, cycloalkyl, aryl, etc.] and pharmaceutically acceptable salts thereof together with pharmaceutical compns. comprising them, as well as combinatorial libraries of compds. I, are disclosed. Preparation of compds. I is described in nine synthetic examples. Thus, treating the resin bearing 6-(4-methoxyphenyl)-1H-indazol-3-amine (preparation given) with iso-Pr isocyanate followed by treatment with aqueous NH4OH, and cleavage from the resin afforded N-isopropyl-N'-[6-(4-methoxyphenyl)-1H-indazol-3-yl]urea. The compds. I or compns. may be useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity (no biol. data given) such as cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases and neurodegenerative disorders.

IT 627858-40-6P 627858-50-8P 627858-62-2P
627858-74-6P 627858-86-0P 627858-99-5P
627859-10-3P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of 3-aminoindazole derivs. as kinase inhibitors)

RN 627858-40-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[6-(4-methoxyphenyl)-1H-indazol-3-yl]- (CA INDEX NAME)



RN 627858-50-8 CAPLUS
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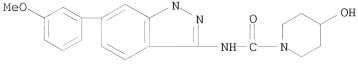
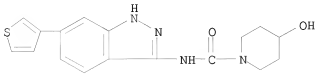
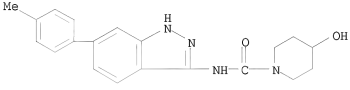


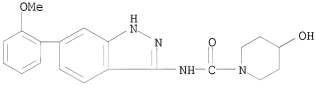
RN 627858-62-2 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[6-(3-thienyl)-1H-indazol-3-yl]- (CA INDEX NAME)



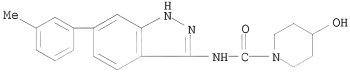
RN 627858-74-6 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[6-(4-methylphenyl)-1H-indazol-3-yl]- (CA INDEX NAME)



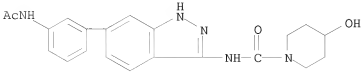
RN 627858-86-0 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[6-(2-methoxyphenyl)-1H-indazol-3-yl]- (CA INDEX NAME)



RN 627858-99-5 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[6-(3-methylphenyl)-1H-indazol-3-yl]- (CA INDEX NAME)



RN 627859-10-3 CAPLUS
CN 1-Piperidinecarboxamide, N-[6-[3-(acetylamino)phenyl]-1H-indazol-3-yl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 102 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:892757 CAPLUS
DOCUMENT NUMBER: 139:381501
TITLE: Preparation of N-[thio(oxo)carbonylaminophenyl]uracils as herbicides
INVENTOR(S): Schwarz, Hans-Georg; Andree, Roland; Hoischen, Dorothee; Kluth, Joachim; Linker, Karl-Heinz; Vidal-Ferran, Anton; Drewes, Mark Wilhelm; Dahmen, Peter; Feucht, Dieter; Pontzen, Rolf
PATENT ASSIGNEE(S): Bayer CropScience AG, Germany
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093244	A1	20031113	WO 2003-EP4138	20030422
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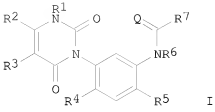
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10219434	A1	20031120	DE 2002-10219434	20020502
CA 2484280	A1	20031113	CA 2003-2484280	20030422
AU 2003240459	A1	20031117	AU 2003-240459	20030422
AU 2003240459	B2	20081120		
EP 1503994	A1	20050209	EP 2003-729934	20030422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009872	A	20050419	BR 2003-9872	20030422
JP 2005535585	T	20051124	JP 2004-501383	20030422
MX 2004010863	A	20050214	MX 2004-10863	20041101
US 20060089262	A1	20060427	US 2005-514153	20051121
US 7521396	B2	20090421		

PRIORITY APPLN. INFO.: DE 2002-10219434 A 20020502
WO 2003-EP4138 W 20030422
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 139:381501
GI

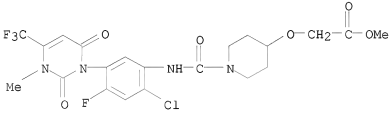


I

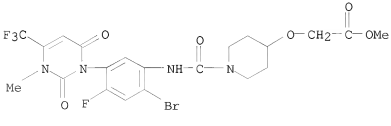
AB Title compds. [I; Q = O, S; R1 = H, amino, (substituted) alkyl; R2 = carboxy, cyano, (thio)carbamoyl, (substituted) alkyl, alkoxycarbonyl; R3 = H, halo, (halogenated) alkyl; R4 = H, cyano, (thio)carbamoyl, halo; R5 = cyano, (thio)carbamoyl, halo, (halogenated) alkyl, alkoxy; R6 = H, (substituted) alkyl, alkylcarbonyl, alkylsulfonyl, (halogenated) alkenyl, alkenylcarbonyl, etc.; R7 = (halogenated) alkoxycarbonyl, alkoxycarbonylalkylthio, hydroxyamino, cyanoalkylamino, (substituted) heterocyclyloxy, N-bonded (monocyclic) N-heterocyclyl, etc.], were prepared Thus, a mixture of 3-(4-bromo-2-fluoro-5-isocyanatophenyl)-1-methyl-6-trifluoromethyl-1H-pyrimidin-2,4-one, piperidine-3-carboxylic acid Et ester, Et3N, and MeCN was stirred for 15 h at room temperature to give 42% 1-[2-bromo-4-fluoro-5-(3-methyl-2,6-dioxo-4-trifluoromethyl-3,6-dihydro-2H-pyrimidin-1-yl)phenylcarbamoyl]piperidine-3-carboxylic acid Et ester. I were said to show strong pre- and postemergent herbicidal activity and good crop tolerance.

IT 1026097-82-4 1026351-06-3 1027036-44-7
1027582-15-5
RL: PRPH (Prophetic)
(Preparation of N-[thio(oxo)carbonylaminophenyl]uracils as herbicides)

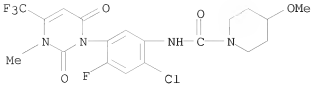
RN 1026097-82-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



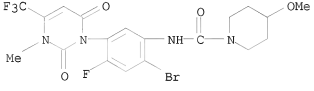
RN 1026351-06-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



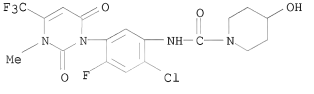
RN 1027036-44-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



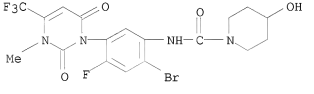
RN 1027582-15-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



IT 623929-28-2P 623929-29-3P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [thio(oxo)carbonylaminophenyl]uracils as herbicides)
RN 623929-28-2 CAPLUS
CN 1-Piperidinecarboxamide, N-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenyl]-4-hydroxy- (CA INDEX NAME)



RN 623929-29-3 CAPLUS
CN 1-Piperidinecarboxamide, N-[2-bromo-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenyl]-4-hydroxy- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 103 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:622568 CAPLUS
DOCUMENT NUMBER: 139:164710
TITLE: Preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity.

INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA

SOURCE: U.S., 145 pp., Cont.-in-part of U.S. Ser. No. 465,286, abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 108

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6605623	B1	20030812	US 2000-598821	20000621
US 6331541	B1	20011218	US 1999-465288	19991217
US 6605623	B1	20030812	US 2000-598821	20000621
US 6605623	B1	20030812	US 2000-598821	20000621
US 6605623	B1	20030812	US 2000-598821	20000621
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US 6605623	B1	20030812	US 2000-598821	20000621
US 6605623	B1	20030812	US 2000-598821	20000621
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
CA 2413274	A1	20011227	CA 2001-2413274	20010620
WO 2001098269	A2	20011227	WO 2001-US19745	20010620
WO 2001098269	A3	20030710		

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WO 2001098269	A2	20011227	WO 2001-XA19745	20010620
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098269	A2	20011227	WO 2001-XB19745	20010620
WO 2001098269	A3	20030710		

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WO 2001098269 A2 20011227 WO 2001-XC19745 20010620
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WO 2001098269 A2 20011227 WO 2001-XG19745 20010620
WO 2001098269 A3 20030710
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IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2001098269 A2 20011227 WO 2001-XH19745 20010620

WO 2001098269 A3 20030710

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW

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WO 2001098269 A2 20011227 WO 2001-XI19745 20010620

WO 2001098269 A3 20030710

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WO 2001098269 A2 20011227 WO 2001-XJ19745 20010620

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WO 2001098269 A2 20011227 WO 2001-XK19745 20010620

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WO 2001098269 A2 20011227 WO 2001-XL19745 20010620

WO 2001098269 A3 20030710

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WO 2001098269	A2	20011227	WO 2001-XM19745	20010620	
WO 2001098269	A3	20030710			
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WO 2001098269	A2	20011227	WO 2001-XN19745	20010620	
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EP 1363881	A2	20031126	EP 2001-950358	20010620	
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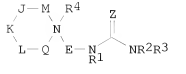
JP 2004517803	T	20040617	JP 2002-504225	20010620	
US 20030013741	A1	20030116	US 2001-7172	20011023	
US 6521592	B2	20030218			
US 20040002515	A1	20040101	US 2002-279416	20021024	
US 6875776	B2	20050405			
US 20040006107	A1	20040108	US 2002-279231	20021024	
US 6780857	B2	20040824			
US 20040058960	A1	20040325	US 2003-465191	20030619	
US 6906066	B2	20050614			
US 20050192291	A1	20050901	US 2004-21042	20041223	
PRIORITY APPLN. INFO.:			US 1998-112717P	P	19981218
			US 1999-161243P	P	19991022
			US 1999-465286	B2	19991217
			US 1999-161137P	P	19991022
			US 1999-161184P	P	19991022
			US 1999-161222P	P	19991022
			US 1999-465287	A3	19991217
			US 1999-465288	A3	19991217
			US 1999-465948	A3	19991217
			US 2000-213051P	P	20000621
			US 2000-598821		20000621
			WO 2001-US19745	W	20010620
			US 2002-279416	A1	20021024

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:164710

GI

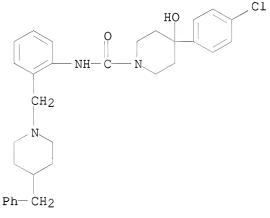


I

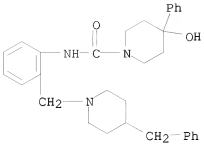
AB [Title compds. I; M = CH2, CHR5, CHR13, CR13R13, CR5R13; Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, L = CH2, CHR5, CHR6, CR6R6, CR5R6; Z = O, S; M = CH2, CHR5, CHR13, CR13R13, CR5R13; K = CHR5, CR5R6; Z = O, S; E = (CHR7)(CHR9)v(CR11R12); R1, R2 = H, alkyl, alkenyl, alkynyl, (substituted) alkylcycloalkyl; R2R3 = atoms to form a (substituted) 5-7 membered ring; R3, R5 = (substituted) (alkyl)cycloalkyl, (alkyl)heterocyclyl; R4 = null, O, alkyl, alkenyl, alkynyl, etc.; R4 with R7, R9, or R11 = atoms to form a 5-7 membered ring; R6 = alkyl, alkenyl, alkynyl, etc.; R7, R9 = H; R4R7, R4R9 = (substituted) spirocyclyl; R13 = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R11R12 = pyrrolidinyl, tetrahydrofuryl, piperidinyl, tetrahydropyranyl; v = 1, 2], were prepared as modulators of chemokine activity (no data) for preventing asthma and other allergic diseases. Thus, 4-benzyl-1-(3-aminopropyl)piperidine (preparation given) in THF was treated with 3-cyanophenyl isocyanate to give N-(3-cyanophenyl)-N'-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]urea. A pharmaceutical composition comprising the compound I was claimed. [This abstract record is one of 15 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity)

RN 275810-67-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 104 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:511323 CAPLUS
DOCUMENT NUMBER: 139:85337
TITLE: Preparation of carboxamidobenzothiazoles as A2A adenosine receptor ligands
INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053961	A1	20030703	WO 2002-EP13769	20021205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030144288	A1	20030731	US 2002-307698	20021202
US 6734179	B2	20040511		
CA 2469876	A1	20030703	CA 2002-2469876	20021205
AU 2002356628	A1	20030709	AU 2002-356628	20021205
AU 2002356628	B2	20080417		
BR 0204837	A	20040831	BR 2002-14837	20021205
EP 1456202	A1	20040915	EP 2002-805304	20021205
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CN 1602310	A	20050330	CN 2002-824848	20021205
CN 1286834	C	20061129		
JP 2005521647	T	20050721	JP 2003-554677	20021205
JP 4283116	B2	20090624		
AT 309242	T	20051115	AT 2002-805304	20021205
ES 2251628	T3	20060501	ES 2002-805304	20021205

RU 2293736	C2	20070220	RU 2004-121683		20021205
MX 2004005554	A	20040910	MX 2004-5554		20040608
PRIORITY APPLN. INFO.:			EP 2001-129273	A	20011212
			WO 2002-EP13769	W	20021205

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 139:85337
GI

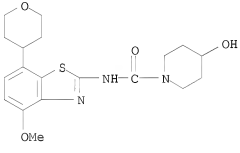
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = (un)substituted 3,6-dihydro-2H-pyran-4-yl, 5,6-dihydro-4H-pyran-3-yl, 5,6-dihydro-4H-pyran-2-yl, tetrahydropyranyl, cyclohex-1-enyl, cyclohexyl, 1,2,3,6-tetrahydropyridin-4-yl, or piperidin-4-yl; R2 = (un)substituted alkyl, piperidinyl, Ph, morpholinyl, or pyridinyl; and their pharmaceutically acceptable acid addition salts] were prepared as A2A adenosine receptor ligands. For example, II was prepared by Pd cross coupling of (7-iodo-4-methoxybenzothiazol-2-yl)carbamic acid Me ester with tributyl(3,6-dihydro-2H-pyran-4-yl)stannane at 100 0C for 16 h. I have a good affinity to the A2A-receptor and may be used in the treatment of diseases related to this receptor. For instance, all except one tested invention compds. showed binding to the human A2A adenosine receptor with pKi >8.0.

IT 554411-95-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(A2A receptor ligand; preparation of carboxamidobenzothiazoles as AA adenosine receptor ligands)

RN 554411-95-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methoxy-7-(tetrahydro-2H-pyran-4-yl)-2-benzothiazolyl]- (CA INDEX NAME)



OS.CITING REF COUNT:	6	THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT:	3	THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 105 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER:	2003:511317 CAPLUS
DOCUMENT NUMBER:	139:85234
TITLE:	Preparation of carboxamidobenzothiophenes as A2A adenosine receptor modulators
INVENTOR(S):	Alanine, Alexander; Flohr, Alexander
PATENT ASSIGNEE(S):	F. Hoffmann-La Roche A.-G., Switz.
SOURCE:	PCT Int. Appl., 24 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053954	A1	20030703	WO 2002-EP13704	20021204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
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CA 2469872	A1	20030703	CA 2002-2469872	20021204
AU 2002358597	A1	20030709	AU 2002-358597	20021204
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EP 1456196	B1	20090107		
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BR 2002014936	A	20041214	BR 2002-14936	20021204
CN 1602309	A	20050330	CN 2002-824846	20021204
CN 1296368	C	20070124		
JP 2006500313	T	20060105	JP 2003-554670	20021204
JP 4197649	B2	20081217		
RU 2299882	C2	20070527	RU 2004-121682	20021204
AT 420082	T	20090115	AT 2002-792882	20021204
US 20030149030	A1	20030807	US 2002-315821	20021210
US 6730670	B2	20040504		
MX 2004005632	A	20041206	MX 2004-5632	20040610
PRIORITY APPLN. INFO.:			EP 2001-129269 A	20011212
			WO 2002-EP13704 W	20021204

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
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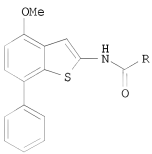

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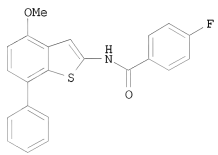

II

AB Title compds. I [wherein R = (un)substituted aryl, pyridinyl, NR1R2 = (un)substituted morpholinyl, thiomorpholinyl, piperidinyl, piperazinyl; n = 0-2; and their pharmaceutically acceptable acid addition salts] were prepared as A2A adenosine receptor modulators. For example, II was prepared by acylation of (4-methoxy-7-phenyl-benzo[b]thiophen-2-yl)-amine with 4-fluorobenzoyl chloride at 200 for 2 h. I have a good affinity to the A2A-receptor and may be used in the treatment of diseases related to this

receptor. For instance, all the compds. I showed binding to the human A2A adenosine receptor with pKi > 6.4.

IT 554457-87-3P 554457-89-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(A2A adenosine receptor modulator; preparation of carboxamidobenzothiophenes as A2A adenosine receptor modulators)

RN 554457-87-3 CAPLUS
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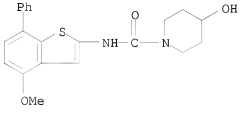
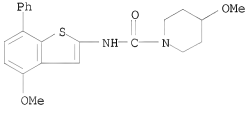


RN 554457-89-5 CAPLUS
CN 1-Piperidinecarboxamide, 4-methoxy-N-(4-methoxy-7-phenylbenzo[b]thien-2-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 106 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:472390 CAPLUS
DOCUMENT NUMBER: 139:53026
TITLE: Preparation of ureidobenzothiazoles as adenosine receptor ligands
INVENTOR(S): Flohr, Alexander; Jakob-Roetne, Roland; Norcross, Roger David; Riemer, Claus
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049741	A1	20030619	WO 2002-EP13761	20021205

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20030149036	A1	20030807	US 2002-308338		20021203
US 6727247	B2	20040427			
CA 2469596	A1	20030619	CA 2002-2469596		20021205
AU 2002356626	A1	20030623	AU 2002-356626		20021205
AU 2002356626	B2	20071129			
BR 2002014825	A	20040914	BR 2002-14825		20021205
EP 1455792	A1	20040915	EP 2002-804578		20021205
EP 1455792	B1	20070418			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
CN 1602196	A	20050330	CN 2002-824654		20021205
JP 2005516006	T	20050602	JP 2003-550790		20021205
JP 4245483	B2	20090325			
AT 359792	T	20070515	AT 2002-804578		20021205
ES 2283652	T3	20071101	ES 2002-804578		20021205
RU 2311905	C2	20071210	RU 2004-121166		20021205
US 20040229893	A1	20041118	US 2003-691770		20031023
US 7019001	B2	20060328			
MX 2004005444	A	20041011	MX 2004-5444		20040604
PRIORITY APPLN. INFO.:			EP 2001-129228	A	20011210
			US 2002-308338	A3	20021203
			WO 2002-EP13761	W	20021205

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:53026

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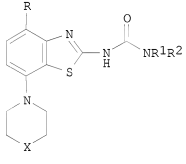


I

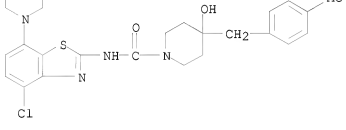
AB Title compds. [I; R = alkoxy, halo; R1, R2 = H, alkyl, cycloalkyl, tetrahydropyran-4-yl; R1R2N = (substituted) 2-oxa-5-azabicyclo[2.2.1]heptyl, 3-endo-hydroxy-8-azabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.2]octyl, 1-oxo-2,8-diazaspiro[4.5]decyl, 3-azaspiro[5.5]undecyl, 8-azaspiro[4.5]decyl, 1-oxa-8-azaspiro[4.5]decyl, 1,8,8-trimethyl-3-azabicyclo[3.2.1]octyl, 1,4-oxazepanyl, 2-oxa-5-azabicyclo[2.2.2]octyl, 8-oxa-3-azabicyclo[3.2.1]octyl, 1,4-diazabicyclo[3.2.1]octyl, 2-azabicyclo[2.2.1]heptyl, 3-azabicyclo[3.2.1]octyl, piperazinyl, piperidin-1-yl; X = O, CH2; n = 0-4], were prepared Thus, 4-methoxy-7-morpholin-4-ylbenzothiazol-2-ylamine in CH2Cl2 was treated with pyridine and Ph chloroformate and the resulting solution stirred for 45 min at ambient temperature;

(1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane was added and the mixture stirred at ambient temperature for 15 min and at 40° for 2.5 h. to give (1S,4S)-2-oxa-5-azabicyclo[2.2.1]heptane-5-carboxylic acid (4-methoxy-7-morpholin-4-ylbenzothiazol-2-yl)amide. This bound to human A2a receptors with pKi = 8.5.

IT 546093-51-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ureidobenzothiazoles as adenosine receptor ligands)

RN 546093-51-0 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-chloro-7-(1-piperidinyl)-2-benzothiazolyl]-4-hydroxy-4-[(4-methylphenyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 107 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:434303 CAPLUS

DOCUMENT NUMBER: 139:36445

TITLE: Preparation of 2-aminoquinolines as melanin concentrating hormone receptor (MCH-1R) antagonists.

INVENTOR(S): Devita, Robert J.; Chang, Lehua; Chaung, Danny; Hoang, Myle; Jiang, Jinlong; Lin, Peter; Sailer, Andreas W.; Young, Jonathan R.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045313	A2	20030605	WO 2002-US37556	20021122
WO 2003045313	A3	20030904		

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CA 2468015	A1	20030605	CA 2002-2468015		20021122
AU 2002352878	A1	20030610	AU 2002-352878		20021122
AU 2002352878	B2	20071122			
EP 1450801	A2	20040901	EP 2002-789837		20021122
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JP 2005519876	T	20050707	JP 2003-546818		20021122
US 20050026915	A1	20050203	US 2004-496615		20040525
US 7084156	B2	20060801			
PRIORITY APPLN. INFO.:			US 2001-333581P	P	20011127
			WO 2002-US37556	W	20021122

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:36445

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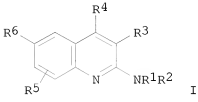


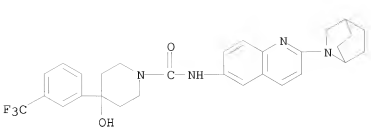
I

AB Title compds. [I; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, aralkyl, etc.; R1R2N = 4-11 membered (bridged) (substituted) heterocyclyl; R3, R4 = H, halo, (substituted) alkyl, alkenyl, alkynyl, perfluoroalkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaralkyl, OR7, N(R7)2, cyano, etc.; R3R4 = atoms to form 5-7 membered (substituted) ring; R5 = H, halo, alkyl, perfluoroalkyl, OR7, N(R7)2; R6 = (CH2)nR7, (CH2)nCN, (CH2)nCO2R7, (CH2)nOR7, (CH2)nN(R7)2, etc.; R7 = H, alkyl, aryl, heteroaryl, cycloalkyl, aralkyl, aralkenyl, cycloalkylalkenyl, etc.; n = 0-5], were prepared for the treatment or prevention of obesity, eating disorders, osteoarthritis, cancer, AIDS wasting, cachexia, frailty, mental disorders, stress, cognitive disorders, sexual function, reproductive function, kidney function, locomotor disorders, attention deficit disorder (ADD), substance abuse disorders and dyskinesias, Huntington's disease, epilepsy, memory function, and spinal muscular atrophy. Thus, 2-piperidin-1-ylquinolin-6-amine and (2E)-3-(4-chlorophenyl)prop-2-enoyl chloride were stirred 3 h in HOAc to give (2E)-3-(4-chlorophenyl)-N-(2-piperidin-1-ylquinolin-6-yl)prop-2-enamide hydrochloride. I bound to MCH-1R receptors with IC50 = 0.1-10000 nM.

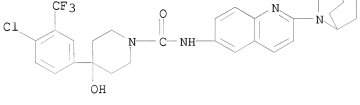
IT 539854-86-9P 539854-87-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of 2-aminoquinolines as melanin concentrating hormone
receptor (MCH-1R) antagonists)

RN 539854-86-9 CAPLUS

CN 1-Piperidinecarboxamide, N-[2-(2-azabicyclo[2.2.2]oct-2-yl)-6-quinolinyl]-4-hydroxy-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 539854-87-0 CAPLUS
CN 1-Piperidinecarboxamide, N-[2-(2-azabicyclo[2.2.2]oct-2-yl)-6-quinolinyl]-4-[4-chloro-3-(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 33 THERE ARE 33 CAPLUS RECORDS THAT CITE THIS RECORD (42 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 108 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:282524 CAPLUS
DOCUMENT NUMBER: 138:304064
TITLE: Preparation of phenylurea derivatives as vanilloid receptor agonists
INVENTOR(S): Matsumoto, Takahiro; Yamamoto, Masataka; Nagabukuro, Hiroshi; Mochizuki, Manabu
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029199	A1	20030410	WO 2002-JP9995	20020927
WO 2003029199	A9	20030925		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002332331	A1	20030414	AU 2002-332331	20020927
EP 1437344	A1	20040714	EP 2002-768103	20020927

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

JP 2004339061	A	20041202	JP 2002-282514		20020927
US 20040259912	A1	20041223	US 2004-489621		20040312
PRIORITY APPLN. INFO.:			JP 2001-300564	A	20010928
			WO 2002-JP9995	W	20020927

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 138:304064
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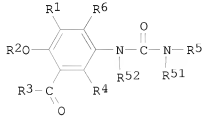
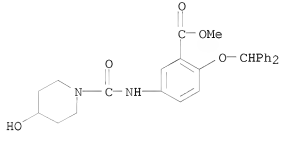


I

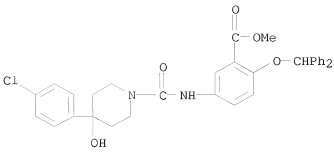
AB The title compds. I [R1, R4 and R6 are each independently hydrogen, halogeno, or hydrocarbyl; R2 is hydrocarbyl or a heterocyclic group; R3 is hydrocarbyl, etc.; R5 is hydrocarbyl or a heterocyclic group (except quinolyl) and R51 is hydrogen or hydrocarbyl, or R5 and R51 together with the nitrogen atom adjacent thereto may form a ring; and R52 is hydrogen or hydrocarbyl] are prepared I are useful for the treatment of pain, urinary incontinence, etc. In a tail flick test using mice, one compound of this invention showed a min. ED of 1 mg/kg.

IT 508216-23-7P 508216-25-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylurea derivs. as vanilloid receptor agonists)

RN 508216-23-7 CAPLUS
CN Benzoic acid, 2-(diphenylmethoxy)-5-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-, methyl ester (CA INDEX NAME)



RN 508216-25-9 CAPLUS
CN Benzoic acid, 5-[[[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]carbonyl]amino]-2-(diphenylmethoxy)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 109 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:150534 CAPLUS
DOCUMENT NUMBER: 138:204946
TITLE: Preparation of N-ureidoalkylpiperidines as modulators of CCR3 chemokine receptor activity for the prevention of asthma and other allergic diseases
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Wacker, Dean A.; Zheng, Changsheng
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: U.S., 126 pp., Cont.-in-part of U.S. Ser. No. 466,442. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 108
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525069	B1	20030225	US 2000-597400	20000621
US 6331541	B1	20011218	US 1999-465288	19991217
US 6444686	B1	20020903	US 1999-466442	19991217
US 6525069	B1	20030225	US 2000-597400	20000621
US 6525069	B1	20030225	US 2000-597400	20000621
US 6525069	B1	20030225	US 2000-597400	20000621
US 6525069	B1	20030225	US 2000-597400	20000621
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US 6525069	B1	20030225	US 2000-597400	20000621
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
CA 2413421	A1	20011227	CA 2001-2413421	20010620
WO 2001098270	A2	20011227	WO 2001-US19752	20010620
WO 2001098270	A3	20020530		
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WO 2001098270	A3	20020530		
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WO 20010982702 A2 20011227 WO 2001-XB19752 20010620

WO 20010982703 A3 20020530

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WO 20010982704 A2 20011227 WO 2001-XC19752 20010620

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WO 20010982706 A2 20011227 WO 2001-XD19752 20010620

WO 20010982707 A3 20020530

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WO 20010982708 A2 20011227 WO 2001-XE19752 20010620

WO 20010982709 A3 20020530

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WO 20010982710 A2 20011227 WO 2001-XF19752 20010620

WO 20010982711 A3 20020530

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2001098270 A2 20011227 WO 2001-XG19752 20010620

WO 2001098270 A3 20020530

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2001098270 A2 20011227 WO 2001-XH19752 20010620

WO 2001098270 A3 20020530

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1294690 A2 20030326 EP 2001-950360 20010620

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004516238 T 20040603 JP 2002-504226 20010620

US 20030013741 A1 20030116 US 2001-7172 20011023

US 6521592 B2 20030218

US 20030114489 A1 20030619 US 2002-180869 20020626

US 6897234 B2 20050524

US 20040002515 A1 20040101 US 2002-279416 20021024

US 6875776 B2 20050405

US 20040006107 A1 20040108 US 2002-279231 20021024

US 6780857 B2 20040824

US 20040034063 A1 20040219 US 2003-359443 20030206

US 6919368 B2 20050719

US 20050096325 A1 20050505 US 2004-983367 20041108

US 20050192291 A1 20050901 US 2004-21042 20041223

PRIORITY APPLN. INFO.: US 1998-112717P P 19981218

US 1999-161221P P 19991022

US 1999-466442 A2 19991217

US 1999-161137P P 19991022

US 1999-161184P P 19991022

US 1999-161222P P 19991022

US 1999-465287 A3 19991217

US 1999-465288 A3 19991217

US 1999-465948 A3 19991217

US 2000-213208P P 20000621

US 2000-597400 20000621

WO 2001-US19752 W 20010620

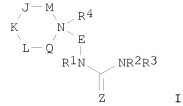
US 2002-180869 A1 20020626

US 2002-279416 A1 20021024

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 138:204946

GI

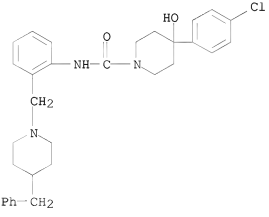


I

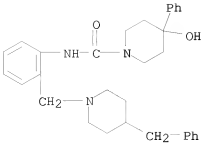
AB Title compds. [I; M, Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, K, L = CH2, CHR5, CHR6, CR6R6, CR5R6; ≥1 of J, K, L contains R5; Z = O, S, NR1a, CHCN, CHNO2, C(CN)2; R1a = H, alkyl, cycloalkyl, CN, NO2, etc.; E = (substituted) C3-6 carbocyclyl, methylenecarbocyclyl, ethylenecarbocyclyl, etc.; R1, R2 = H, alkyl, alkenyl, alkynyl; R3 = (substituted) alkyl, alkenyl, alkynyl; R4 = null, N-oxide, alkyl, alkenyl, alkynyl, cycloalkylalkyl, etc.; R5 = (substituted) alkylenecarbocyclyl, alkyleneheterocyclyl; R6 = alkyl, alkenyl, alkynyl, alkylcycloalkyl, perfluoroalkyl, hydroxyalkyl, mercaptoalkyl, aminoalkyl, CN, etc.; R13 = alkyl, alkenyl, alkynyl, cycloalkyl, perfluoroalkyl, aminoalkyl, hydroxyalkyl, carboxyalkyl, mercaptoalkyl, acylaminoalkyl, (substituted) phenylalkyl, etc.], were prepared as CCR3 modulators (no data). Thus, 4-benzyl-1-(3-aminopropyl)piperidine (preparation given) and 3-cyanophenyl isocyanate were stirred 30 min. in THF to give N-3-cyanophenyl-N'-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]urea. [This abstract record is one of 8 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-ureidoalkylpiperidines as modulators of chemokine receptor activity)

RN 275810-67-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)

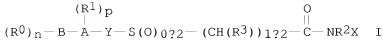


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 110 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:695940 CAPLUS
DOCUMENT NUMBER: 137:216688
TITLE: Preparation of substituted sulfonylalkylcarboxamides as selective pde3b inhibitors and use of the same in therapy
INVENTOR(S): Snyder, Peter B.; Beaton, Graham; Rueter, Jaimie K.; Fanning, Dewey L.; Warren, Stephen D.; Hadida-Ruah, Sara S.
PATENT ASSIGNEE(S): Icos Corporation, USA
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070469	A2	20020912	WO 2002-US5624	20020226
WO 2002070469	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002247208	A1	20020919	AU 2002-247208	20020226
PRIORITY APPLN. INFO.:			US 2001-273497P P	20010305
			WO 2002-US5624 W	20020226

OTHER SOURCE(S): MARPAT 137:216688
GI



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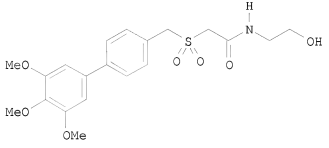


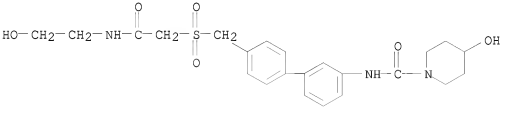
II

AB Title compds. I [A = (un)substituted aryl or heteroaryl; B = (un)substituted aryl or heteroaryl which may optionally be a fused bicyclic or polycyclic aromatic ring system; Y = CHR4, CH2CHR4, CHR4CH2, NRc, CO(CH2)1-2S(CH2)0-2, O(CH2)0-4, NRcCO(CH2)0-2, and SO2NHRa(CH2)0-2; X = H, OH, alkoxy, cycloalkyl, CH(Rc)CH2OH, NRaRb, bond between NR2 and an atom of ring A or B, etc.; R0 = halo, alkyl, alkenyl, haloalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, etc.; R1 = alkyl or halo; R2 = H, alkyl, aryl, heteroaryl, alkylenearyl, etc.; alternatively R2 and X may together form an (un)substituted heterocycle; R3 and R4 independently = H, alkyl, aryl, heteroaryl, halo; Ra and Rb independently = H, alkyl, aryl, arylalkyl, etc.; or Ra and Rb together form a (un)substituted 5-6 membered ring optionally containing a heteroatom; Rc = H, aryl, heteroaryl, alkyl, cycloalkyl, etc.], and their pharmaceutically acceptable salts and solvates thereof, are prepared and disclosed as selective PDE3B inhibitors. Thus, II was prepared via Suzuki coupling of 3,4,5-trimethoxyboronic acid with 4-bromophenylmethanesulfonyl-N-hydroxyethyl acetamide. In vitro assays against phosphodiesterase 3b indicated compds. of the invention possess IC50 values in the range of 0.01-8.5 μM.

IT 1106059-69-1
RL: PRPH (Prophetic)
(Preparation of substituted sulfonylalkylcarboxamides as selective pde3b inhibitors and use of the same in therapy)

RN 1106059-69-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4'-[[[2-[(2-hydroxyethyl)amino]-2-oxoethyl]sulfonyl]methyl][1,1'-biphenyl]-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 111 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:504757 CAPLUS
DOCUMENT NUMBER: 137:78855
TITLE: Preparation of carbazoles as neuropeptide Y5 receptor ligands
INVENTOR(S): Block, Michael Howard; Foote, Kevin Michael; Donald, Craig Samuel; Schofield, Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051806	A1	20020704	WO 2001-GB5577	20011217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2432008	A1	20020704	CA 2001-2432008	20011217
AU 2002217269	A1	20020708	AU 2002-217269	20011217
BR 2001016388	A	20030930	BR 2001-16388	20011217
EP 1358157	A1	20031105	EP 2001-272068	20011217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520324	T	20040708	JP 2002-552903	20011217
CN 1531527	A	20040922	CN 2001-822825	20011217
NZ 526623	A	20041126	NZ 2001-526623	20011217
ZA 2003004764	A	20040920	ZA 2003-4764	20030619
NO 2003002842	A	20030818	NO 2003-2842	20030620
MX 2003005648	A	20031006	MX 2003-5648	20030620
US 20040067999	A1	20040408	US 2003-450928	20031010
PRIORITY APPLN. INFO.:			GB 2000-31382 A	20001222
			GB 2001-21919 A	20010911
			WO 2001-GB5577 W	20011217

OTHER SOURCE(S): MARPAT 137:78855
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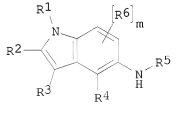


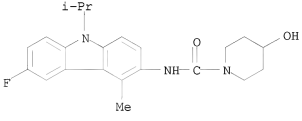
I

AB The title compds. [I; R1 = alkyl, alkanoyl, alkylsulfonyl, etc.; R2, R3 = Me; or R2 and R3 together = (un)substituted (CH2)4 or (CH)4; R4 = alkyl; R5 = CONR9R10, COR9, COCOR9; R6 = halo, CN, OH, etc.; R9, R10 = H, alkyl, alkoxy, etc.; or NR9R10 = (un)substituted heterocyclic ring; m = 0-2], useful as NPY 5 inhibitors in treating eating disorders, were prepared and

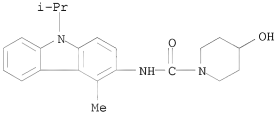
formulated. Thus, amidation of 4-morpholinecarbonyl chloride with 3-amino-2,4-dimethyl-9-isopropyl-9H-carbazole in the presence of Et3N in DCM afforded I [R1 = iso-Pr; R2 and R3 together = (CH)4; R4 = Me; R5 = morpholinocarbonyl; R6 = 2-Me; m = 1]. In general, compds. I possess an IC50 in the range 0.0002 to 200 μM against NPY5.

IT 439861-94-6P 439862-12-1P 439863-74-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbazoles as neuropeptide Y5 receptor ligands)

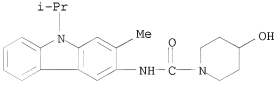
RN 439861-94-6 CAPLUS
CN 1-Piperidinecarboxamide, N-[6-fluoro-4-methyl-9-(1-methylethyl)-9H-carbazol-3-yl]-4-hydroxy- (CA INDEX NAME)



RN 439862-12-1 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methyl-9-(1-methylethyl)-9H-carbazol-3-yl]- (CA INDEX NAME)



RN 439863-74-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[2-methyl-9-(1-methylethyl)-9H-carbazol-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 112 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:319364 CAPLUS
DOCUMENT NUMBER: 137:125070
TITLE: Study of the reactions of

2,2,6,6-tetramethyl-4-piperidinol with aromatic mono- and diisocyanates

AUTHOR(S): Bolcu, Constantin; Seiman, Corina

CORPORATE SOURCE: Facultatea de Chimie-Biologie-Geografie, Universitatea de Vest Timisoara, Timisoara, 1900, Rom.

SOURCE: Revista de Chimie (Bucharest, Romania) (2002), 53(2), 150-156
CODEN: RCBUAU; ISSN: 0034-7752

PUBLISHER: SYSCOM 18 SRL

DOCUMENT TYPE: Journal

LANGUAGE: Romanian

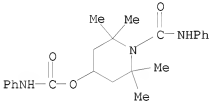
OTHER SOURCE(S): CASREACT 137:125070

AB The reactions of bifunctional photostabilizer 2,2,6,6-tetramethyl-4-piperidinol with Ph isocyanate, diphenylmethane 4,4'-diisocyanate, and toluene 2,4-diisocyanate were studied. Urethanes and allophanates are among possible products, which were analyzed by IR and UV-Vis spectroscopies, inverse phase HPLC, and thermal anal. The study of these reactions is useful in order to clear up some aspects concerning the way in which photostabilizers of this type bind with polyurethane mols. during the reactive photostabilization of the latter.

IT 444200-95-7P 444200-96-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of tetramethylpiperidinol with aromatic mono- and diisocyanates)

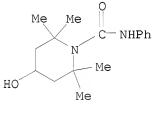
RN 444200-95-7 CAPLUS

CN 1-Piperidinecarboxamide, 2,2,6,6-tetramethyl-N-phenyl-4-[[(phenylamino)carbonyl]oxy]- (CA INDEX NAME)



RN 444200-96-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-2,2,6,6-tetramethyl-N-phenyl- (CA INDEX NAME)



L4 ANSWER 113 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:185080 CAPLUS

DOCUMENT NUMBER: 136:247497

TITLE: Synthesis of piperidine derivatives as inhibitors of 2,3-oxidosqualene-lanosterol cyclase (OSC)

INVENTOR(S): Ackermann, Jean; Aebi, Johannes; Chucholowski, Alexander; Dehmlow, Henrietta; Morand, Olivier; Wallabaum, Sabine; Weller, Thomas

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020483	A1	20020314	WO 2001-EP9941	20010829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20020068753	A1	20020606	US 2001-939872	20010827
US 6964974	B2	20051115		
CA 2419588	A1	20020314	CA 2001-2419588	20010829
CA 2419588	C	20090922		
AU 2001085912	A	20020322	AU 2001-85912	20010829
EP 1317432	A1	20030611	EP 2001-965225	20010829
EP 1317432	B1	20080116		
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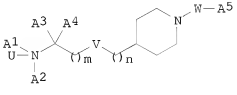
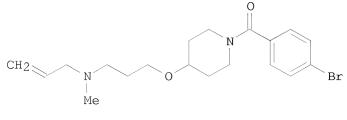
BR 2001013752	A	20030729	BR 2001-13752	20010829
JP 2004508354	T	20040318	JP 2002-525105	20010829
CN 1231466	C	20051214	CN 2001-816941	20010829
AT 384047	T	20080215	AT 2001-965225	20010829
ES 2298253	T3	20080516	ES 2001-965225	20010829
ZA 2003001818	A	20040621	ZA 2003-1818	20030305
MX 2003002034	A	20030724	MX 2003-2034	20030307

PRIORITY APPLN. INFO.: EP 2000-119677 A 20000908
WO 2001-EP9941 W 20010829

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 136:247497
GI



I



II

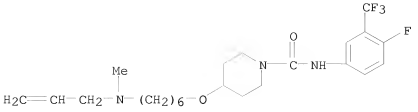
AB Title compds. I [U = O, lone pair; V = O, CH2, CH=CH, C.tplbond.C; m, n =

0-7 and m + n = 0-7; W = CO, COO, CONR1, CSO, CSNR1, SO2, or SO2NR1, with the proviso that : (a) V is not CH2 if W is CO, (b) m+n is 1 to 2 if V = CH2 and W = SO2, (c) m = n = 0 if V is CH=CH and W = CO or SO2, (d) m = 1-7 if V = 0, (e) n = 1-6 or m+n = 1-3 if V = O and W is CO or SO2; A1 = H, alk(en)yl; A2 = cycloalkyl, alkenyl, alkynyl; A3-4 = H, alkyl; or A1-2 or A1 and A3 are bonded to each other to form a ring; A5 = alk(en)yl, cycloalkyl, (hetero); R1 = H, alkyl] were prepared For instance, 1-Boc-4-hydroxymethylpiperidine was alkylated with the O-trifluoromethanesulfonate ester of 3-bromo-1-propanol. This intermediate was deprotected (4N HCl, dioxane), acylated 4-bromobenozyl chloride (CH2Cl2, i-PrNEt2) and reacted with allyl Me amine (acetone, K2CO3) to yield example compound [4-[3-(N-Allyl-N-methylamino)propoxy]piperidin-1-yl](4-bromophenyl)methanone (II) isolated as the fumarate salt. Compds. I inhibit 2,3-oxidosqualene-lanosterol cyclase (OSC) and are useful in the treatment of hypercholesterolemia, hyperlipemia, arteriosclerosis, etc.

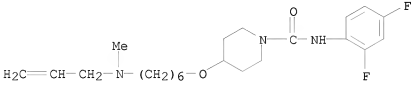
IT 403799-26-8P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(4-fluoro-3-trifluoromethylphenyl)amide
403799-29-1P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (2,4-difluorophenyl)amide 403799-31-5P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (2,4-dimethoxyphenyl)amide 403799-33-7P, 4-[6-(N-Allyl-N-methylamino)-hexyloxy]piperidine-1-carboxylic acid N-(4-fluorophenyl)amide 403799-35-9P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(4-methoxyphenyl)amide 403799-37-1P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(p-tolyl)amide 403799-39-3P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (4-methoxy-2-methylphenyl)amide 403799-41-7P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (2,4-dimethylphenyl)amide 403799-42-8P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (3,4,5-trimethoxyphenyl)amide 403799-44-0P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (3,4-dimethylphenyl)amide 403799-46-2P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(4-acetylphenyl)amide 403799-48-4P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(4-butylphenyl)amide 403799-50-8P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (4-methylsulfanylphenyl)amide 403799-53-1P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(4-isopropylphenyl)amide 403799-55-3P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid (3,4-dichlorophenyl)amide 403799-57-5P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(4-bromophenyl)amide 403799-59-7P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(naphthalen-2-yl)amide 403799-62-2P, 4-[6-(N-Allyl-N-methylamino)hexyloxy]piperidine-1-carboxylic acid N-(naphthalen-1-yl)amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis of piperidine derivs. as inhibitors of 2,3-oxidosqualene-lanosterol cyclase (OSC))

RN 403799-26-8 CAPLUS

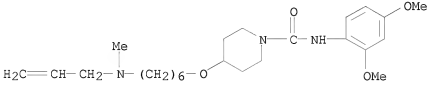
CN 1-Piperidinecarboxamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



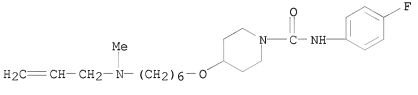
RN 403799-29-1 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,4-difluorophenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



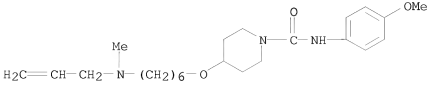
RN 403799-31-5 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,4-dimethoxyphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



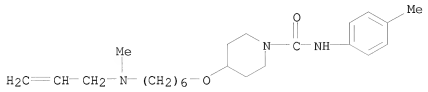
RN 403799-33-7 CAPLUS
CN 1-Piperidinecarboxamide, N-(4-fluorophenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



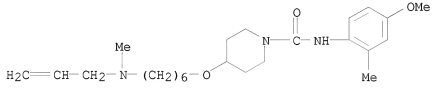
RN 403799-35-9 CAPLUS
CN 1-Piperidinecarboxamide, N-(4-methoxyphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



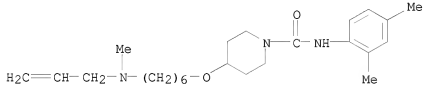
RN 403799-37-1 CAPLUS
CN 1-Piperidinecarboxamide, N-(4-methylphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



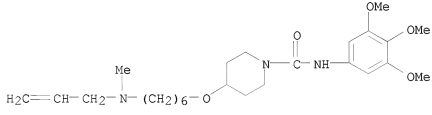
RN 403799-39-3 CAPLUS
CN 1-Piperidinecarboxamide, N-(4-methoxy-2-methylphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



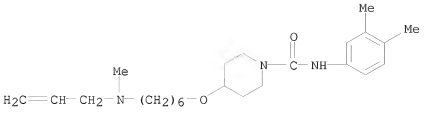
RN 403799-41-7 CAPLUS
CN 1-Piperidinecarboxamide, N-(2,4-dimethylphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



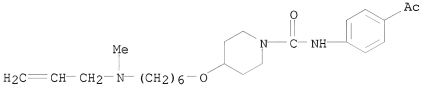
RN 403799-42-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]-N-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



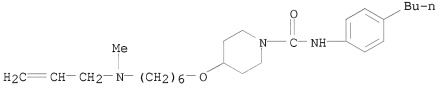
RN 403799-44-0 CAPLUS
CN 1-Piperidinecarboxamide, N-(3,4-dimethylphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



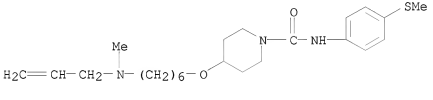
RN 403799-46-2 CAPLUS
CN 1-Piperidinecarboxamide, N-(4-acetylphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



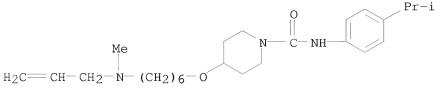
RN 403799-48-4 CAPLUS
CN 1-Piperidinecarboxamide, N-(4-butylphenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



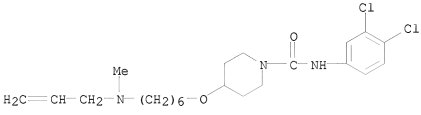
RN 403799-50-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]-N-[4-(methylthio)phenyl]- (CA INDEX NAME)



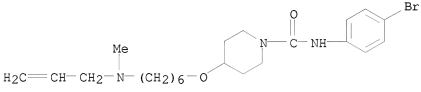
RN 403799-53-1 CAPLUS
CN 1-Piperidinecarboxamide, N-[4-(1-methylethyl)phenyl]-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



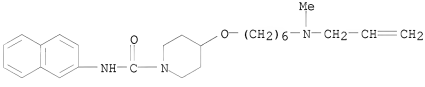
RN 403799-55-3 CAPLUS
CN 1-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



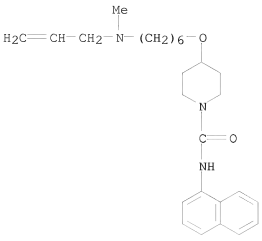
RN 403799-57-5 CAPLUS
CN 1-Piperidinecarboxamide, N-(4-bromophenyl)-4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]- (CA INDEX NAME)



RN 403799-59-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]-N-2-naphthalenyl- (CA INDEX NAME)



RN 403799-62-2 CAPLUS
CN 1-Piperidinecarboxamide, 4-[[6-(methyl-2-propen-1-ylamino)hexyl]oxy]-N-1-naphthalenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 114 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:72044 CAPLUS
DOCUMENT NUMBER: 136:134675
TITLE: Preparation of heterocyclic amino alcohol beta-3 adrenergic receptor agonists
INVENTOR(S): Ashwell, Mark Anthony; Solvibile, William Ronald; Quagliato, Dominick Anthony; Molinari, Albert John
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006229	A2	20020124	WO 2001-US22327	20010716
WO 2002006229	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20020028832	A1	20020307	US 2001-903841	20010712
US 6451814	B2	20020917		
US 20030018045	A1	20030123	US 2002-189312	20020702
US 6605618	B2	20030812		

PRIORITY APPLN. INFO.: US 2000-218628P P 20000717
US 2001-903841 A1 20010712
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB This invention provides A-U-CH(OH)CH2NHCH2CH2VC6H4WZ-p (1; Z = (1-Y-X-substituted piperidin-4-yl)) or a pharmaceutically acceptable salt

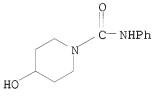
thereof, which are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension and frequent urination; and are particularly useful in the treatment or inhibition of type II diabetes. β3-Adrenergic receptor EC50 and maximal response (IA; % activity compound/% activity isoproterenol) values are reported for .apprx.100 example compds., e.g. 0.032 μM and 1.04 for 4-[4-[2-[(2S)-2-hydroxy-3-(4-hydroxyphenoxy)propylamino]ethyl]phenylamino]piperidine-1-carboxylic acid 2,6-difluorobenzylamide. In 1, A is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, N, and S, substituted with (R1)m; (b) a Ph ring substituted with (R1)m; (c) a naphthyl ring substituted with (R1)m; or (d) a Ph fused heterocycle selected from (R1)m-substituted 1,3-dihydro-2-oxo-2H-benzimidazol-4-yl, 1,3-benzodioxol-5-yl, 1,2,3,4-tetrahydro-2-oxoquinolin-5-yl, 1,2,3,4-tetrahydro-1-naphthylideneamino. U is -OCH2- or a bond; V is O or a bond; W is O, S(O)a, NR2, NC(O)R2; X = SO2, C(O), -(CH2)b, a bond, Ar; Y is -NR3R4, Het, Ar, alkyl of 1-8 C atoms, O(CH2)dR5. R1 is alkyl of 1-8 C atoms, -OR6, halogen, cyano, cycloalkyl of 3-8 C atoms, trifluoromethyl, CO2R6, -NR6R7, -C(O)NR6R7, -NHC(O)R6, -NR6C(O)NR8R8, -NHSO2R8, -S(O)aR6, -NO2, -O(CH2)eCO2R7, -OC(O)NR6R7, -O(CH2)fOR6, or a 5-6 membered heterocyclic ring containing 1 to 4 heteroatoms selected from O, S, and N. R2 is H, alkyl of 1-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R3 and R4 are each, independently, H, alkyl of 1-8 C atoms, cycloalkyl of 3-8 C atoms, arylalkyl having 1-8 C atoms in the alkyl group, -(CH2)gR9, -(CH2)hCOR9, -(CH2)jCR10R11(CH2)jR9, or -(CH2)kCONR12R13; or R3 and R4 may be taken together together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S, and said heterocycle may optionally be substituted with R14. R5 is H; alkyl of 1-8 C atoms optionally substituted by 1-3 substituents selected from hydroxy, halogen and aryl; cycloalkyl of 1-8 C atoms; Ar or Het; R6, R7, and R8 are each, independently, H, or alkyl of 1-8 C atoms, or aryl of 6-10 C atoms, cycloalkyl of 3-8 C atoms, or arylalkyl having 1-8 C atoms in the alkyl moiety; R9 is H; alkyl optionally substituted with 1-3 substituents selected from hydroxy, halogen, and aryl; cycloalkyl of 3-8 C atoms; Ar, or Het; R10 and R11 are each, independently, H, alkyl, or aryl optionally substituted with alkyl of 1-8 C atoms or halogen; or R10 and R11 are taken together to form a spiro fused cycloalkyl ring of 3-8 C atoms. R12 and R13 are each, independently, H, alkyl of 1-8 C atoms, aryl optionally substituted with alkyl of 1-8 C atoms or halogen; or R12 and R13 are taken together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S, and said heterocycle may optionally be substituted with R14; R14 is CO2R15 or aryl optionally substituted with a 1-3 substituents selected from -OR15 and cycloalkyloxy of 3-8 C atoms; R15 is alkyl of 1-8 C atoms or arylalkyl having 1-8 C atoms in the alkyl moiety. Ar is an aromatic ring system containing 1-2 carbocyclic aromatic rings having 6-10 C atoms optionally mono, di, or trisubstituted with R16; Het is (a) a 5-6 membered heterocyclic ring having 1-4 heteroatoms selected from O, S, and N which may be optionally mono- or disubstituted with R16; or (b) a heterocyclic ring system optionally mono- or disubstituted by R16 containing a 5-6 membered heterocyclic ring fused to one or two carbocyclic or heterocyclic rings such that the heterocyclic ring system contains 1-4 heteroatoms selected from O, S, and N; R16 is aryl, halogen, alkyl of 1-8 C atoms, -OR17, cycloalkyl of 3-8 C atoms, trifluoromethyl, cyano, -CO2R17, -CONR17R18, -SO2NR17R18, -NR17OR18, -NR19CONR1 7R18, -NR17R18, -NR17COR18, -NO2, -O(CH2)pCO2R17, -OCONR17R18, -S(O)nR17, -O(CH2)qOR17, or a 5-6 membered heterocyclic ring containing 1-4 heteroatoms selected from O, S and N. R17, R18, and R19 are each, independently, H, alkyl of 1-8 C

atoms, arylalkyl having 1-8 C atoms in the alkyl moiety, or aryl optionally mono, di, or trisubstituted with halogen, cyano, nitro, hydroxy, alkyl of 1-8 C atoms, or alkoxy of 1-8 C atoms; or when R17 and R18 are contained on a common N, R17 and R18 may be taken together with the N to which they are attached to form a 3-7 membered saturated heterocycle, which may optionally contain 1-2 addnl. heteroatoms selected from O and S. A = 0-2; b = 1-6; d = 0-3; e = 1-6; f = 1-6; g = 0-6; h = 0-6; j = 0-6; k = 0-6; m = 0-2; p = 1-6; q = 1-6. Methods of preparation are claimed, comprising (a) reacting AOCH2-substituted oxirane or a protected form thereof in which a reactive substituent group is protected, with H2NCH2CH2VC6H4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 (U = -OCH2-). (b) reacting A-substituted oxirane or a protected form thereof in which any reactive substituent group is protected, with H2NCH2CH2VC6H4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U represents a bond;. (c) reacting ACH(OPr)CH2I, wherein Pr is a protecting group, with H2NCH2CH2VC6H4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U = -OCH2-. (d) reacting ACH(OH)CH2NH2 or a protected form thereof in which any reactive substituent group is protected, with HO2CCH2VC6H4WZ-p or a protected form thereof in which a reactive substituent group is protected; and if required removing any protecting group to give 1 wherein U = -OCH2-. (e) removing any protecting group from 1 in which at least one substituent carries a protecting group to give 1; or (f) converting a basic compound 1 to a salt thereof by reaction with a pharmaceutically acceptable acid; or (g) converting 1 having one or more reactive substituent groups to a different 1; or (h) isolating an isomer of 1 from a mixture thereof. More than 100 example prepns. are included.

IT 392628-39-6P, 4-Hydroxy-N-phenyl-1-piperidinecarboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heterocyclic amino alc. beta-3 adrenergic receptor agonists)

RN 392628-39-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 115 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:71877 CAPLUS

DOCUMENT NUMBER: 136:134783

TITLE: Preparation of piperazine(or piperidine)-1-carboxamides as CCR5 modulators

INVENTOR(S): Bondinell, William E.; Neeb, Michael J.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002005819	A1	20020124	WO 2001-US22529	20010713
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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AU 2001080599	A	20020130	AU 2001-80599	20010713
EP 1313477	A1	20030528	EP 2001-958995	20010713
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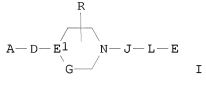
US 20040038982	A1	20040226	US 2003-343880	20030205
PRIORITY APPLN. INFO.:			US 2000-218509P P	20000715
			WO 2001-US22529 W	20010713

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 136:134783
GI



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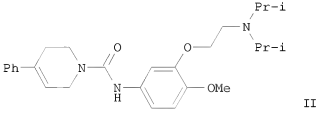


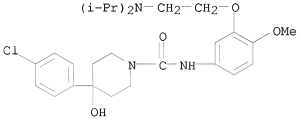
II

AB The title compds. [I; the basic N atom in moiety E may be optionally quaternized with alkyl or optionally present as the N-oxide; A = (un)substituted (hetero)aryl or (hetero)aryl fused to a saturated or partly unsatd. 5-7 membered ring; D = a bond, CO, SO2, etc.; E1G = NC(R26)2, NC(R26)2C(R26)2, CR27C(R26)2, C:CR26; R26 = H, alkyl; R27 = H, CN, NO2, etc.; R = H, alkyl, O; J = CO, SO2; L = NR30, O, C(R30)2; R30 = H, alkyl; E = 3-(2-diisopropylamino)ethoxy-4-methoxyphenyl, etc.] which are modulators, agonists or antagonists, of the CCR5 receptor, and therefore are useful in the treatment and prevention of disease states mediated by CCR5, including, but not limited to, asthma and atopic disorders (for example, atopic dermatitis and allergies), rheumatoid arthritis, sarcoidosis, or idiopathic pulmonary fibrosis and other fibrotic diseases,

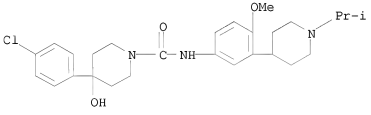
atherosclerosis, psoriasis, autoimmune diseases such as multiple sclerosis, treating and/or preventing rejection of transplanted organs, and inflammatory bowel disease, were prepared Thus, treating 4-phenyl-1,2,3,6-tetrahydropyridine.HCl with triphosgene in the presence of Et3N in CH2Cl2 followed by addition of 3-(2-diisopropylamino)ethoxy-4-methoxyaniline afforded II. The compds. I showed CCR5 receptor modulator activity having IC50 values in the range of 0.0001-100 μM. Furthermore, since CD8+ T cells have been implicated in COPD, CCR5 may play a role in their recruitment and therefore antagonists to CCR5 could provide potential therapeutic in the treatment of COPD. Also, since CCR5 is a co-receptor for the entry of HIV into cells, selective receptor modulators may be useful in the treatment of HIV infection.

IT 391881-92-8P 391882-01-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine(or piperidine)-1-carboxamides as CCR5 modulators)

RN 391881-92-8 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-methoxyphenyl]-4-(4-chlorophenyl)-4-hydroxy- (CA INDEX NAME)



RN 391882-01-2 CAPLUS
CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[4-methoxy-3-[1-(1-methylethyl)-4-piperidinyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 116 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:935575 CAPLUS
DOCUMENT NUMBER: 136:69739
TITLE: Preparation of piperidinoalkylureas as chemokine receptor modulators
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Wacker, Dean A.; Zheng, Changsheng
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 333 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 108
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098270	A2	20011227	WO 2001-US19752	20010620
WO 2001098270	A3	20020530		
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US 6525069	B1	20030225	US 2000-597400	20000621
CA 2413421	A1	20011227	CA 2001-2413421	20010620
WO 2001098270	A2	20011227	WO 2001-XA19752	20010620
WO 2001098270	A3	20020530		
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WO 2001098270	A3	20020530		
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WO 2001098270 A2 20011227 WO 2001-XE19752 20010620

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WO 2001098270 A2 20011227 WO 2001-XF19752 20010620

WO 2001098270 A3 20020530

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WO 2001098270 A2 20011227 WO 2001-XG19752 20010620

WO 2001098270 A3 20020530

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WO 2001098270 A2 20011227 WO 2001-XH19752 20010620

WO 2001098270 A3 20020530

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EP 1294690 A2 20030326 EP 2001-950360 20010620

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JP 2004516238 T 20040603 JP 2002-504226 20010620

PRIORITY APPLN. INFO.: US 2000-213208P P 20000621

US 2000-597400 A 20000621

US 1998-112717P P 19981218

US 1999-161221P P 19991022

US 1999-466442 A2 19991217

WO 2001-US19752 W 20010620

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

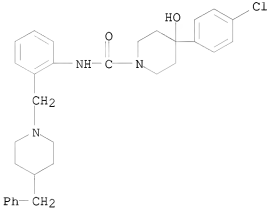
OTHER SOURCE(S): MARPAT 136:69739

AB The title compds. were prepared as chemokine receptor modulators (no data). Thus, PhCH2Z(CH2)3NHR (Z = piperidine-4,1-diyl)(I; R = H)(preparation given) was amidated by 3-(NC)C6H4NCO to give I [R = CONHC6H4(CN)-3]. [This

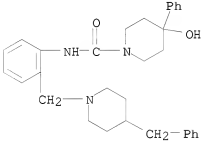
abstract record is one of 9 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinoalkylureas as chemokine receptor modulators)

RN 275810-67-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 30 THERE ARE 30 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 117 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:935574 CAPLUS
DOCUMENT NUMBER: 136:69738
TITLE: Preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity.
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B.; Wacker, Dean A.; Yao, Wenqing
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA; Bristol-Myers Squibb Pharmaceutical Co.
SOURCE: PCT Int. Appl., 446 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 108
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098269	A2	20011227	WO 2001-US19745	20010620
WO 2001098269	A3	20030710		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
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US 6605623	B1	20030812	US 2000-598821	20000621
CA 2413274	A1	20011227	CA 2001-2413274	20010620
WO 2001098269	A2	20011227	WO 2001-XA19745	20010620
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EP 1363881 A2 20031126 EP 2001-950358 20010620

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JP 2004517803 T 20040617 JP 2002-504225 20010620

PRIORITY APPLN. INFO.: US 2000-213051P P 20000621
US 2000-598821 A 20000621
US 1998-112717P P 19981218
US 1999-161243P P 19991022
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:69738

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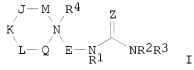

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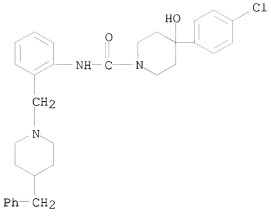
AB [Title compds. I; M = CH2, CHR5, CHR13, CR13R13, CR5R13; Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, L = CH2, CHR5, CHR6, CR6R6, CR5R6; Z = O, S; M = CH2, CHR5, CHR13, CR13R13, CR5R13; K = CHR5, CR5R6; Z = O, S; E = (CHR7)(CHR9)v(CR11R12); R1, R2 = H, alkyl, alkenyl, alkynyl, (substituted) alkylcycloalkyl; R2R3 = atoms to form a (substituted) 5-7 membered ring; R3, R5 = (substituted) (alkyl)cycloalkyl, (alkyl)heterocyclyl; R4 = null, O, alkyl, alkenyl, alkynyl, etc.; R4 with R7, R9, or R11 = atoms to form a 5-7 membered ring; R7, R9 = H; R4R7, R4R9 = (substituted) spirocyclyl; R13 = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R11R12 = pyrrolidinyl, tetrahydrofuryl, piperidinyl, tetrahydropyranyl; v = 1, 2], were prepared as modulators of chemokine activity (no data). Thus, 4-benzyl-1-(3-aminopropyl)piperidine (preparation given) in THF was treated with 3-cyanophenyl isocyanate to give N-(3-cyanophenyl)-N'-[3-[4-(phenylmethyl)-1-piperidinyl]propyl]urea. [This abstract record is one of 15 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

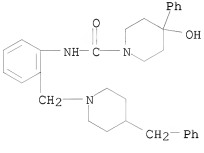
IT 275810-67-8P 275810-68-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity)

RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
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L4 ANSWER 118 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:935384 CAPLUS
DOCUMENT NUMBER: 136:69803
TITLE: Preparation of N-benzothiazol-2-yl amides having affinity toward the A2A adenosine receptor
INVENTOR(S): Alanine, Alexander; Flohr, Alexander; Miller, Aubry Kern; Norcross, Roger David; Riemer, Claus
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001097786	A2	20011227	WO 2001-EP6506	20010608
WO 2001097786	A3	20021212		

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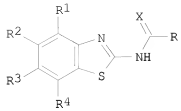

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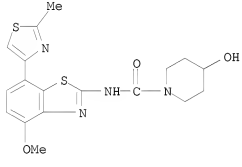
AB The title compds. [I; R1 = H, alkyl, alkoxy, etc.; R2, R3 = H, halo, alkyl, alkoxy; R4 = H, alkyl, alkenyl, etc.; R = (un)substituted Ph, (CH2)n(5-6 membered (non)aromatic heterocyclyl, (CH2)n+1Ph, etc.; n = 0-4; X = O, S, H2)], useful for the treatment of diseases related to the adenosine receptor, were prepared Thus, reacting 2-amino-4-methoxy-7-phenylbenzothiazole with benzoyl chloride in pyridine afforded 69% I [R1 = OMe; R2, R3 = H; R4 = Ph; R = Ph; X = O]. Biol. data for compds. I were given.

IT 383867-98-9P, 4-Hydroxypiperidine-1-carboxylic acid [4-methoxy-7-(2-methylthiazol-4-yl)benzothiazol-2-yl]amide
383867-99-0P, 4-Hydroxypiperidine-1-carboxylic acid [4-methoxy-7-(5-methylthien-2-yl)benzothiazol-2-yl]amide
383868-93-7P 383869-09-8P 383869-25-8P
383869-27-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-benzothiazolyl amides having affinity toward A2A adenosine receptor)

RN 383867-98-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-methoxy-7-(2-methyl-4-thiazolyl)-2-benzothiazolyl]- (CA INDEX NAME)



RN 383867-99-0 CAPLUS

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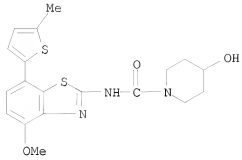

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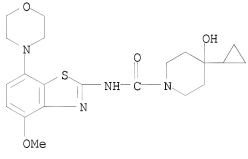

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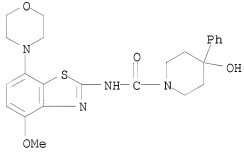

RN 383869-25-8 CAPLUS
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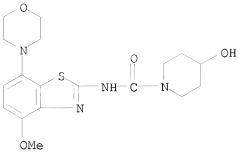
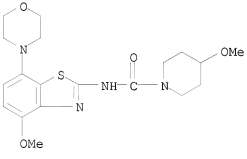
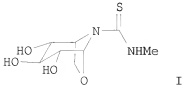


RN 383869-27-0 CAPLUS
CN 1-Piperidinecarboxamide, 4-methoxy-N-[4-methoxy-7-(4-morpholinyl)-2-benzothiazolyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (35 CITINGS)
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 119 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:769617 CAPLUS
DOCUMENT NUMBER: 136:69990
TITLE: Synthesis and evaluation of calystegine B2 analogues as glycosidase inhibitors
AUTHOR(S): Garcia-Moreno, M. Isabel; Benito, Juan M.; Ortiz Mellet, Carmen; Garcia Fernandez, Jose M.
CORPORATE SOURCE: Departamento de Quimica Organica Facultad de Quimica, Universidad de Sevilla, Seville, E-41071, Spain
SOURCE: Journal of Organic Chemistry (2001), 66(23), 7604-7614
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:69990
GI



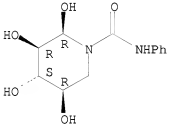
I

AB A practical synthesis of polyhydroxylated 6-oxa-nor-tropanes, e.g. I, incorporating the essential structural features of calystegine B2 from 5-deoxy-5-thioureido and 5-ureido-L-idofuranose precursors is presented. The methodol. relies on the ability of pseudoamide-type nitrogen atoms (thiourea, urea, and carbamate) to undergo nucleophilic addition to the masked aldehyde group of the monosaccharide. The generated hemiaminal functionality may further undergo in situ intramol. glycosidation to give the bicyclic aminoacetal compds., the whole process being favored by the anomeric effect. A series of derivs. bearing different substituents at nitrogen has been prepared and screened against several glycosidases in comparison with xylonojirimycin-type piperidine analogs. Interestingly, strong and highly specific inhibition of bovine liver -glucosidase was observed for 6-oxacalystegine B analogs incorporating aromatic pseudoaglyconic groups. On the basis of these data, a 1-aza-sugar inhibition mode is proposed for this family of glycomimetics.

IT 260544-78-3P 260544-79-4P
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of calystegine B2 analogs via nucleophilic addition/glycosidation,
their glucosidase and galactosidase inhibitory activity as glycomimetics)

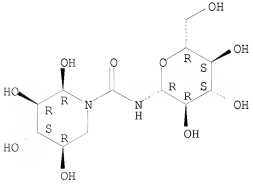
RN 260544-78-3 CAPLUS
CN 1-Piperidinecarboxamide, 2,3,4,5-tetrahydroxy-N-phenyl-, (2R,3R,4S,5R)-
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 260544-79-4 CAPLUS
CN 1-Piperidinecarboxamide, N-β-D-glucopyranosyl-2,3,4,5-tetrahydroxy-,
(2R,3R,4S,5R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)
REFERENCE COUNT: 91 THERE ARE 91 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 120 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:521913 CAPLUS
DOCUMENT NUMBER: 135:107323
TITLE: Preparation of aminothiazole inhibitors of cyclin dependent kinases
INVENTOR(S): Kim, Kyoung S.; Kimball, S. David; Cai, Zhen-wei; Rawlins, David B.; Misra, Raj N.; Poss, Michael A.; Webster, Kevin R.; Hunt, John T.; Han, Wen-ching
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: U.S., 164 pp., Cont.-in-part of U.S. 6,040,321. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6262096	B1	20010717	US 1999-464511	19991215
US 6040321	A	20000321	US 1998-176239	19981021
US 6214852	B1	20010410	US 2000-616629	20000726
US 6515004	B1	20030204	US 2000-727957	20001201
CA 2394538	A1	20010621	CA 2000-2394538	20001206
WO 2001044217	A1	20010621	WO 2000-US33037	20001206
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000016420	A	20020820	BR 2000-16420	20001206
EP 1240153	A1	20020918	EP 2000-983935	20001206
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JP 2003516981	T	20030520	JP 2001-544707	20001206
HU 2003001213	A2	20030828	HU 2003-1213	20001206
HU 2003001213	A3	20090330		
IL 149757	A	20080120	IL 2000-149757	20001206
CA 2394544	A1	20010621	CA 2000-2394544	20001207
CA 2394552	A1	20010621	CA 2000-2394552	20001207
WO 2001044241	A1	20010621	WO 2000-US33113	20001207
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WO 2001044242	A1	20010621	WO 2000-US33501	20001207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR	2000016424	A	20020820	BR 2000-16424	20001207
EP	1240165	A1	20020918	EP 2000-982481	20001207
EP	1240165	B1	20050504		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP	1240166	A1	20020918	EP 2000-990204	20001207
EP	1240166	B1	20050216		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

SI	20975	A	20030228	SI 2000-20060	20001207
JP	2003516987	T	20030520	JP 2001-544732	20001207
EE	2002000306	A	20030616	EE 2002-306	20001207
HU	2003000974	A2	20030828	HU 2003-974	20001207
HU	2003000974	A3	20090330		
HU	2003001032	A2	20030828	HU 2003-1032	20001207
HU	2003001032	A3	20090330		
JP	2003525872	T	20030902	JP 2001-544731	20001207
NZ	519120	A	20040326	NZ 2000-519120	20001207
AU	774381	B2	20040624	AU 2001-19506	20001207
AT	289306	T	20050315	AT 2000-990204	20001207
AT	294800	T	20050515	AT 2000-982481	20001207
ES	2236034	T3	20050716	ES 2000-990204	20001207
PT	1240166	E	20050729	PT 2000-990204	20001207
PT	1240165	E	20050930	PT 2000-982481	20001207
ES	2241678	T3	20051101	ES 2000-982481	20001207
AU	783719	B2	20051201	AU 2001-27264	20001207
IL	149755	A	20090922	IL 2000-149755	20001207
EG	24168	A	20080910	EG 2000-1523	20001209
TW	265930	B	20061111	TW 2000-89126395	20001211
TW	273103	B	20070211	TW 2000-89126788	20001214
US	20010004639	A1	20010621	US 2000-746059	20001222
US	6392053	B2	20020521		
US	20010006976	A1	20010705	US 2000-746060	20001222
US	6414156	B2	20020702		
US	20020137778	A1	20020926	US 2001-839751	20010420
US	6521759	B2	20030218		
US	20020072609	A1	20020613	US 2002-67723	20020205
US	6613911	B2	20030902		
US	20020099217	A1	20020725	US 2002-100129	20020318
US	6639074	B2	20031028		
IN	2002MN00673	A	20050304	IN 2002-MN673	20020524
IN	2002MN00674	A	20050304	IN 2002-MN674	20020524
ZA	2002004349	A	20030901	ZA 2002-4349	20020530
ZA	2002004356	A	20031007	ZA 2002-4356	20020530
NO	2002002817	A	20020814	NO 2002-2817	20020613
NO	323726	B1	20070702		
MX	2002005870	A	20030128	MX 2002-5870	20020613
MX	2002005879	A	20030128	MX 2002-5879	20020613
NO	2002002864	A	20020813	NO 2002-2864	20020614
HK	1049661	A1	20051007	HK 2003-100935	20030207
US	20030216440	A1	20031120	US 2003-407779	20030404
US	20040063767	A1	20040401	US 2003-639272	20030812
US	6897321	B2	20050524		

PRIORITY APPLN. INFO.:

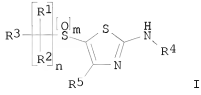
US 1997-65195P	P	19971112
US 1998-176239	A2	19981021
US 1999-464511	A2	19991215
US 2000-616627	A2	20000726
US 2000-616629	A	20000726
WO 2000-US33037	W	20001206

	WO 2000-US33113	W	20001207
	WO 2000-US33501	W	20001207
	US 2000-746059	A3	20001222
	US 2000-746060	A3	20001222
	US 2002-67723	A3	20020205

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 135:107323

GI



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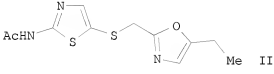


II

AB The title compds. I [R1, R2 = H, F, alkyl; R3 = aryl, heteroaryl; R4 = alkyl, cycloalkyl, aryl, etc.; R5 = H, alkyl; m = 0-2; n = 1-3] were prepared I are protein kinase inhibitors and are useful in the treatment and prevention of proliferative diseases, for example cancer, inflammation and arthritis. E.g., a multi-step synthesis of N-[5-[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]acetamide II which showed IC50 of < 50 μM against cdc2/cyclin B1 kinase, against cdk2/cyclin E kinase, and against cdk4/cyclin D1 kinase, was given.

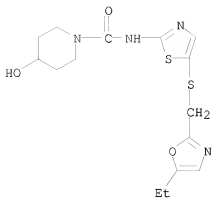
IT 224437-73-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminothiazole inhibitors of cyclin dependent kinases)

RN 224437-73-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[5-[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 121 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:228848 CAPLUS
DOCUMENT NUMBER: 134:266103
TITLE: Preparation of N-tetrahydronaphthalenyl carboxamides as melanin concentrating hormone antagonists
INVENTOR(S): Kato, Kaneyoshi; Terauchi, Jun; Mori, Masaaki; Suzuki, Nobuhiro; Shimomura, Yukio; Takekawa, Shiro; Ishihara, Yuji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 363 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

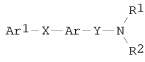
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021577	A2	20010329	WO 2000-JP6375	20000919
WO 2001021577	A3	20011004		
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2386474	A1	20010329	CA 2000-2386474	20000919
EP 1218336	A2	20020703	EP 2000-961075	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2002003370	A	20020109	JP 2000-290357	20000920
US 7115750	B1	20061003	US 2002-88771	20020319
US 20070173498	A1	20070726	US 2005-224744	20050912

PRIORITY APPLN. INFO.:

JP 1999-266298	A	19990920
JP 1999-357889	A	19991216
JP 2000-126272	A	20000420
WO 2000-JP6375	W	20000919
US 2002-88771	A3	20020319

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 134:266103
GI



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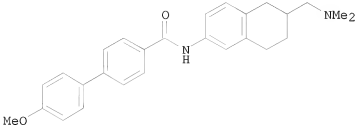


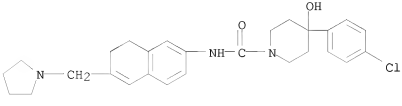
II

AB The title compds. [I; Ar1 = (un)substituted cyclic group; X = a spacer having a main chain of 1-6 atoms; Y = a bond, a spacer having a main chain of 1-6 atoms; Ar = (un)substituted monocyclic aromatic ring which may be condensed with a 4-8 membered non-aromatic ring; R1, R2 = H, a hydrocarbon group which may have substituents; NR1R2 may form a (un)substituted nitrogen-containing hetero ring; R2 may form a spiro ring together with Ar; R2, together with the adjacent nitrogen atom and Y, may form a (un)substituted nitrogen-containing hetero ring] and their salts, useful as agents for preventing or treating obesity, were prepared and formulated. Thus, reacting 6-amino-2-[(dimethylamino)methyl]tetralin with 4-(4-methoxyphenyl)benzoic acid in the presence of HOBt, WSCD, Et3N and DMAP in DMF afforded the carboxamide II which showed IC50 of 40 nM in GTPgS binding assay.

IT 331757-27-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-tetrahydronaphthalenyl carboxamides as melanin concentrating
hormone antagonists)

RN 331757-27-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-N-[7,8-dihydro-6-(1-pyrrolidinylmethyl)-2-naphthalenyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 50 THERE ARE 50 CAPLUS RECORDS THAT CITE THIS RECORD (64 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 122 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:756674 CAPLUS
DOCUMENT NUMBER: 133:309842
TITLE: Preparation of carbazole derivatives for treatment of neuropeptide Y-related diseases
INVENTOR(S): Nishikawa, Naoyuki; Sugai, Masaharu; Aoki, Kozo; Suzuki, Makoto; Ikegawa, Akihiko; Takahashi, Kazunobu; Ohsawa, Fukuichi; Takei, Naomi; Kakui, Nobukazu; Tanaka, Jiro; Tabata, Yuji; Asai, Kenji
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063171	A1	20001026	WO 2000-JP2573	20000420
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1184373	A1	20020306	EP 2000-917373	20000420
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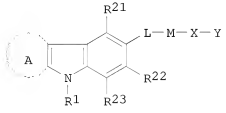
US 6713473	B1	20040330	US 2002-926355	20020219

PRIORITY APPLN. INFO.: JP 1999-111698 A 19990420
JP 1999-200228 A 19990714
WO 2000-JP2573 W 20000420
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 133:309842
GI



I

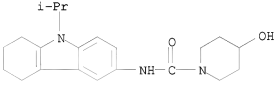
AB The title compds. I [A is a five- to seven-membered hydrocarbon ring; L is NR3CO, CONR3, or the like (wherein R3 is hydrogen, lower alkyl, or lower acyl); M is an alkylene group (wherein the carbon atoms constituting the carbon chain may be each replaced by nitrogen, oxygen, or the like); X is S, O, NR4, NR5CO, a single bond, or the like (wherein R4 and R5 are each hydrogen, lower alkyl, or the like); Y is alkyl, aryl, amino, an aromatic heterocyclic group, or the like; R1 is lower alkyl, lower alkenyl, lower alkynyl, or lower acyl; and R21, R22 and R23 are each hydrogen,

hydroxyl, lower alkyl, or the like] are prepared I are ligands for neuropeptide Y receptors. I are useful in the treatment of neuropeptide Y-related diseases, such as hyperphagia, etc. In in vitro tests for inhibition of binding to the Y5 receptors, the title compds. at 10 μM gave 67% to 100% inhibition.

IT 302556-67-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbazole derivs. for treatment of neuropeptide Y-related diseases)

RN 302556-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[2,3,4,9-tetrahydro-9-(1-methylethyl)-1H-carbazol-6-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 123 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:420964 CAPLUS

DOCUMENT NUMBER: 133:43445

TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity

INVENTOR(S): Ko, Soo S.; Duncia, John V. K.; Santella, Joseph B., III; Wacker, Dean A.; Kim, Ui Tae

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 351 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 108

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035454	A1	20000622	WO 1999-US30336	19991217
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US 6492400 B1 20021210 US 1999-465287 19991217
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US 20040002515 A1 20040101 US 2002-279416 20021024
US 6875776 B2 20050405
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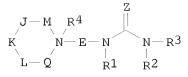
US 20050192291 A1 20050901 US 2004-21042 20041223
PRIORITY APPLN. INFO.: US 1998-112717P P 19981218
US 1999-161184P P 19991022
US 1999-161137P P 19991022
US 1999-161222P P 19991022
US 1999-465287 A3 19991217
US 1999-465288 A3 19991217
US 1999-465948 A3 19991217
WO 1999-US30336 W 19991217
US 2002-279416 A1 20021024
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 133:43445
GI



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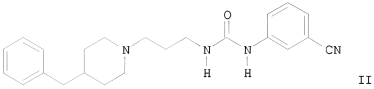


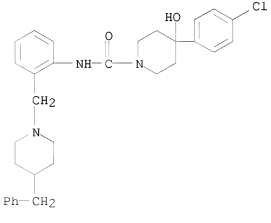
II

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc,; Q = CH2, CHR5, etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/da (oral dosage). [This abstract record is one of 17 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS

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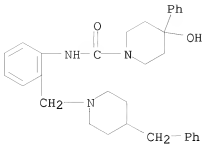

OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 124 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:420963 CAPLUS
DOCUMENT NUMBER: 133:43444
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo; Clark, Cheryl Mcardle; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA
SOURCE: PCT Int. Appl., 316 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 108
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035453	A1	20000622	WO 1999-US30335	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2000035453	A1	20000622	WO 1999-XA30335	19991217
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WO 2000035453	A1	20000622	WO 1999-XB30335	19991217
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PRIORITY APPLN. INFO.:

US 1998-112717P P 19981218
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 133:43444

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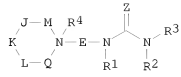

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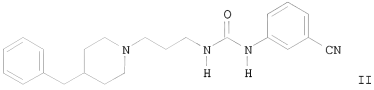

II

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc,; Q = CH2, CH(CH2Ph), etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). [This abstract record is one of 9 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
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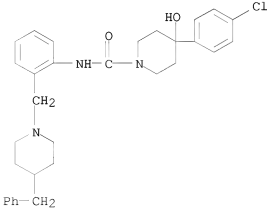
RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



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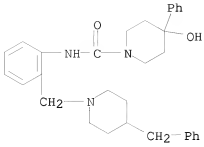

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
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L4 ANSWER 125 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:420962 CAPLUS
DOCUMENT NUMBER: 133:43443
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, Ui Tae; Santella, Joseph B. Iii; Wacker, Dean A. K.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 388 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 108
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035452	A1	20000622	WO 1999-US30334	19991217
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 133:43443

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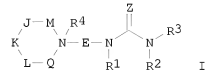

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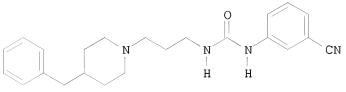


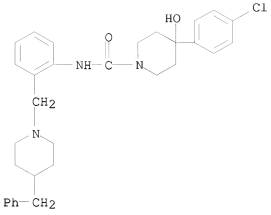
II

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc,; Q = CH2, CH(CH2Ph), etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). [This abstract record is one of 9 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS
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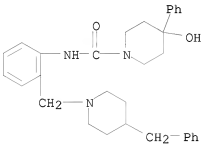

OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 126 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:420961 CAPLUS
DOCUMENT NUMBER: 133:43442
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.; Watson, Paul S.; Varnes, Jeffrey G.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 394 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 108
PATENT INFORMATION:

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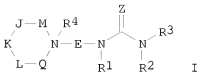

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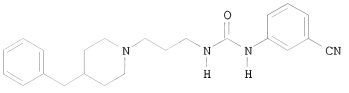

II

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc,; Q = CH2, CH(CH2Ph), etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). [This abstract record is one of 17 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-67-8 CAPLUS

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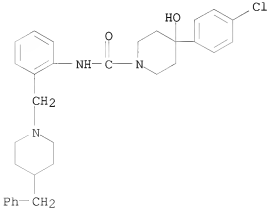

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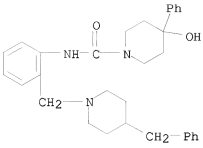

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L4 ANSWER 127 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:420959 CAPLUS
DOCUMENT NUMBER: 133:43441
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Gardner, Daniel S.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 327 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 108
PATENT INFORMATION:

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            PT, SE
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        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
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    EP 1156807           A1    20011128    EP 1999-968144          19991217
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    US 6331541           B1    20011218    US 1999-465288          19991217
    TR 2001001859        T2    20011221    TR 2001-1859            19991217
    CN 1206219           C     20050615    CN 1999-814539          19991217
    ZA 2001003756        A     20020509    ZA 2001-3756            20010509
    US 20030013741       A1    20030116    US 2001-7172            20011023
    US 6521592           B2    20030218
    US 20040002515       A1    20040101    US 2002-279416          20021024
    US 6875776           B2    20050405
    US 20040006107       A1    20040108    US 2002-279231          20021024
    US 6780857           B2    20040824
    US 20050096325       A1    20050505    US 2004-983367          20041108
    US 20050192291       A1    20050901    US 2004-21042           20041223
PRIORITY APPLN. INFO.:                       US 1998-112717P     P  19981218
                                             US 1999-161221P     P  19991022
                                             US 1999-161137P     P  19991022
                                             US 1999-161184P     P  19991022
                                             US 1999-161222P     P  19991022
                                             US 1999-465287      A3 19991217
                                             US 1999-465288      A3 19991217
                                             US 1999-465948      A3 19991217
                                             US 1999-466442      A3 19991217
                                             WO 1999-US30292     W  19991217
                                             US 2002-180869      A1 20020626
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S):         MARPAT 133:43441
GI
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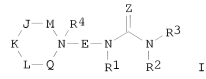

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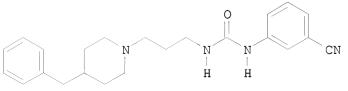


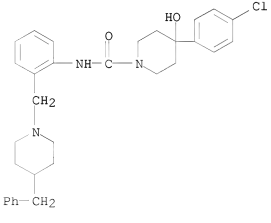
II

AB The title compds. [I; M = absent, CH2, CH(CH2Ph), etc,; Q = CH2, CHR5, etc.; J, K, L = CH2, CH(CH2Ph), etc.; Z = O, S; E = (CH2)2, (CH2)3, CH2CH(OH)CH(Ph), etc.; R1, R2 = H, alkyl, alkenyl, etc.; R2 and R3 may join to form (un)substituted 5-7 membered ring; R3 = (un)substituted Ph, naphthyl, adamantyl, etc.; R4 = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepared and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage). [This abstract record is one of 9 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 275810-67-8P 275810-68-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

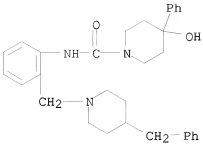
RN 275810-67-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(4-chlorophenyl)-4-hydroxy-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



RN 275810-68-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-4-phenyl-N-[2-[[4-(phenylmethyl)-1-piperidinyl]methyl]phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 128 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:401486 CAPLUS
DOCUMENT NUMBER: 133:43247
TITLE: Preparation of Nβ-cyclohexylcarbonyl-β-amino-α-ketoalkanamides as cathepsin K inhibitors
INVENTOR(S): Hosoda, Akihiko; Kobayashi, Nobuo; Tanabe, Naoko; Koji, Tsuneo; Shibata, Masahiro; Sekine, Akihiro; Dozen, Masaharu
PATENT ASSIGNEE(S): Fujirebio Kabushiki Kaisha, Japan; Seikagaku Corporation
SOURCE: Eur. Pat. Appl., 104 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1008592	A2	20000614	EP 1999-402811	19991112
EP 1008592	A3	20000802		
EP 1008592	B1	20060201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
JP 2000204071	A	20000725	JP 1999-313319	19991104
JP 3892187	B2	20070314		
US 6117870	A	20000912	US 1999-437438	19991110
KR 2000035402	A	20000626	KR 1999-49831	19991111
EP 1616867	A1	20060118	EP 2005-18360	19991112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1616859	A1	20060118	EP 2005-18361	19991112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
EP 1619189	A1	20060125	EP 2005-18359	19991112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 316967	T	20060215	AT 1999-402811	19991112
ES 2258318	T3	20060816	ES 1999-402811	19991112
JP 2004277427	A	20041007	JP 2004-144158	20040513
JP 2004277428	A	20041007	JP 2004-144160	20040513
JP 4265993	B2	20090520		
JP 2004277429	A	20041007	JP 2004-144162	20040513

JP 4312657	B2	20090812			
JP 2004292456	A	20041021	JP 2004-144161		20040513
JP 4312656	B2	20090812			
JP 2004300159	A	20041028	JP 2004-204765		20040712
JP 4312672	B2	20090812			

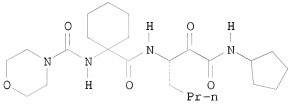
PRIORITY APPLN. INFO.:

JP 1998-322283	A	19981112
JP 1999-313319	A3	19991104
EP 1999-402811	A3	19991112

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 133:43247

GI



II

AB R1CR2CONHCHR2COCOR3 [I; R2 = (heteroatom-interrupted) alkylene; R1 = (un)substituted NH2, -alk(en)yl, -alkoxy, -H2NCO, etc.; R2 = H, alkyl, (un)substituted aryl, etc.; R3 = H, OR4, NR5R6; R4-R6 = H, (cyclo)alkyl, aryl, etc.] were prepared Thus, 1-[(morpholinocarbonyl)amino]cyclohexanecarboxylic acid was amidated by (3S)-H2NCHBuCH(OH)CONHR5 (R5 = cyclopentyl) (preparation each given) and the product oxidized to give title compound II. Data for biol. activity of I were given.

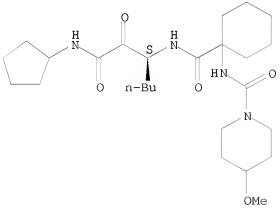
IT 274685-10-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Nβ-cyclohexylcarbonyl-β-amino-α-ketoalkanamides as cathepsin K inhibitors)

RN 274685-10-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[1-[[[(1S)-1-[2-(cyclopentylamino)-2-oxoacetyl]pentyl]amino]carbonyl]cyclohexyl]-4-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



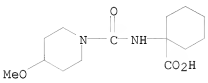
IT 274686-18-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of Nβ-cyclohexylcarbonyl-β-amino-α-ketoalkanamides as cathepsin K inhibitors)

RN 274686-18-9 CAPLUS

CN Cyclohexanecarboxylic acid, 1-[[(4-methoxy-1-piperidinyl)carbonyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 129 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:314688 CAPLUS

DOCUMENT NUMBER: 132:334455

TITLE: 2-Ureidothiazole derivatives, process for their preparation, and their use as antitumor agents

INVENTOR(S): Pevarello, Paolo; Amici, Raffaella; Traquandi, Gabriella; Villa, Manuela; Vulpetti, Anna; Isacchi, Antonella

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy

SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000026203	A1	20000511	WO 1999-EP8307	19991027
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2347060	A1	20000511	CA 1999-2347060	19991027
BR 9914868	A	20010703	BR 1999-14868	19991027
EP 1124811	A1	20010822	EP 1999-953959	19991027
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HU 2001004167	A2	20020328	HU 2001-4167	19991027
HU 2001004167	A3	20031229		
JP 2002528538	T	20020903	JP 2000-579592	19991027
NZ 510967	A	20031031	NZ 1999-510967	19991027
AU 771166	B2	20040318	AU 2000-10447	19991027
ZA 2001002869	A	20011010	ZA 2001-2869	20010406
NO 2001002058	A	20010628	NO 2001-2058	20010426
MX 2001004277	A	20020208	MX 2001-4277	20010427
US 20030187040	A1	20031002	US 2001-830668	20010430
US 6863647	B2	20050308		
IN 2001CN00755	A	20050304	IN 2001-CN755	20010529
US 20040157827	A1	20040812	US 2004-770019	20040202

AU 2004202678	A1	20040715	AU 2004-202678		20040618
PRIORITY APPLN. INFO.:			GB 1998-23873	A	19981030
			AU 2000-10447	A3	19991027
			WO 1999-EP8307	W	19991027
			US 2001-830668	A1	20010430

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 132:334455
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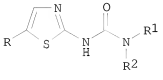


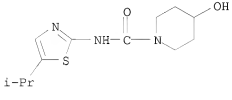
I

AB The title 2-ureido-1,3-thiazole derivs. I and their pharmaceutically acceptable salts are disclosed [wherein R = halo, nitro, (un)substituted amino, C1-6 alkyl, C3-6 cycloalkyl, aryl, or arylalkyl; R1 = (un)substituted C1-6 alkyl, 3- to 6-membered carbocycle or 5- to 7-membered heterocycle, aryl, arylcarbonyl, or arylalkyl; R2 = H, straight or branched C1-4 alkyl, C2-4 alkenyl, or alkynyl; or NR1R2 = (un)substituted, optionally benzo-condensed or bridged 5- to 7-membered heterocycle, or 9- to 11-membered spiro-heterocycle]. The compds. are active as cdk/cyclin inhibitors, and are useful for treating cell proliferative disorders associated with an altered cell dependent kinase activity. The proliferative disorders include cancer and a wide variety of other conditions, such as Alzheimer's disease, viral infections, autoimmune diseases, and neurodegenerative disorders. Over 230 invention compds. are claimed and/or prepared in examples. For instance, reaction of Ph isocyanate with 2-amino-5-bromo-1,3-thiazole hydrobromide in the presence of Et3N gave title compound I [R = Br, R1 = Ph, R2 = H]. The similarly prepared title compound I [R = iso-Pr, R1 = 3,5-dimethylphenyl, R2 = H] inhibited cdk2/cyclin A complex in vitro with an IC50 of 0.56 μM.

IT 267430-42-2P, 4-Hydroxy-N-(5-isopropyl-1,3-thiazol-2-yl)-1-piperidinecarboxamide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of ureidothiazole derivs. as antitumor agents)

RN 267430-42-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[5-(1-methylethyl)-2-thiazolyl]- (CA INDEX NAME)



i-Pr

OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (42 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 130 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:260231 CAPLUS

DOCUMENT NUMBER: 132:293770
TITLE: Preparation of 6-substituted pyrazolo[3,4-d]pyrimidin-4-ones as cyclin dependent kinase inhibitors
INVENTOR(S): Markwalder, Jay A.; Seitz, Steven P.; Sherk, Susan R.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021926	A2	20000420	WO 1999-US23512	19991013
WO 2000021926	A3	20000803		
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RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6531477	B1	20030311	US 1999-416584	19991012
CA 2345809	A1	20000420	CA 1999-2345809	19991013
CA 2345809	C	20100413		
EP 1121363	A2	20010808	EP 1999-951875	19991013
EP 1121363	B1	20041222		
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JP 2002537223	T	20021105	JP 2000-575835	19991013
AT 285411	T	20050115	AT 1999-951875	19991013
PT 1121363	E	20050429	PT 1999-951875	19991013
ES 2235528	T3	20050701	ES 1999-951875	19991013
US 20020013328	A1	20020131	US 2001-794825	20010227
US 6559152	B2	20030506		
CA 2431038	A1	20020906	CA 2002-2431038	20020227
WO 2002067654	A2	20020906	WO 2002-US6002	20020227
WO 2002067654	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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AU 2002255614	A1	20020912	AU 2002-255614	20020227
EP 1383769	A2	20040128	EP 2002-725023	20020227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520407	T	20040708	JP 2002-567036	20020227

PRIORITY APPLN. INFO.:

US 1998-103957P	P	19981013
US 1999-416584	A1	19991012
WO 1999-US23512	W	19991013
US 2001-794825	A	20010227
WO 2002-US6002	W	20020227

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 132:293770
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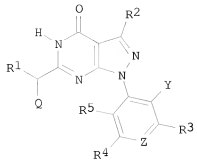

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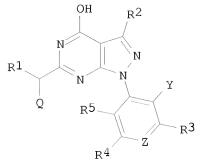


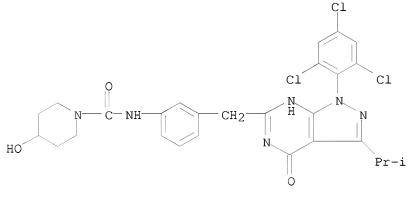
II

AB The title compds. [I, alternatively represented by tautomer II; Q = H, OH, Me, Et; Y = F, Cl, Br, I; Z = N, CR6; R1 = (un)substituted Ph, naphthyl, tropone, etc.; R2 = alkyl, alkenyl, alkynyl, etc.; R3 = H, F, Cl, etc.; R4 = H, F, Cl, etc.; R5 = H, alkyl, F, etc.; R6 = H, F, Cl, etc.] which are potent inhibitors of the class of enzymes known as cyclin dependent kinases (no data), which relate to the catalytic subunits cyclin dependent kinase 1-8 and their regulatory subunits known as cyclins A-H, K, N, and T, and are useful in treating cancer or other proliferative diseases, were prepared Thus, reacting 5-amino-3-methylthio-1-(2,4,6-trichlorophenyl)pyrazole-4-carboxamide with 3-methoxyphenylacetyl chloride in the presence of NaOEt in EtOH afforded 92% I [Q = H; Y = Cl; R1 = 3-MeOC6H4; R2 = MeS; R3, R4 = H; R5 = Cl; Z = CCl].

IT 264137-92-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-substituted pyrazolo[3,4-d]pyrimidin-4-ones as cyclin dependent kinase inhibitors)

RN 264137-92-0 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-[[4,5-dihydro-3-(1-methylethyl)-4-oxo-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]phenyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 131 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:42145 CAPLUS

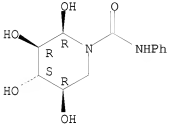
DOCUMENT NUMBER: 132:208061
TITLE: Polyhydroxylated N-(thio)carbamoyl piperidines: nojirimycin-type glycomimetics with controlled anomeric configuration
AUTHOR(S): Garcia-Moreno, M. Isabel; Mellet, Carmen Ortiz; Fernandez, Jose M. Garcia
CORPORATE SOURCE: Departamento de Quimica Organica, Facultad de Quimica, Universidad de Sevilla, Seville, E-41071, Spain
SOURCE: Tetrahedron: Asymmetry (1999), 10(22), 4271-4275
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB N-(Thio)carbamoyl D-xylo-nojirimycin derivs. have been prepared by intramol. rearrangement of sugar thiourea precursors under basic conditions. The stereochem. at the aminoketal stereocenter is under stereoelectronic control, with the diastereomer having the pseudoanomeric group in axial orientation being obtained in all cases.

IT 260544-78-3P 260544-79-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of polyhydroxylated N-(thio)carbamoyl piperidines, nojirimycin-type glycomimetics with controlled anomeric configuration)

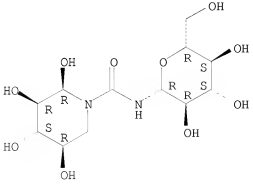
RN 260544-78-3 CAPLUS
CN 1-Piperidinecarboxamide, 2,3,4,5-tetrahydroxy-N-phenyl-, (2R,3R,4S,5R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 260544-79-4 CAPLUS
CN 1-Piperidinecarboxamide, N-β-D-glucopyranosyl-2,3,4,5-tetrahydroxy-, (2R,3R,4S,5R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

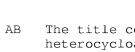
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 132 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:811221 CAPLUS
DOCUMENT NUMBER: 132:35695
TITLE: Preparation of carbon substituted aminothiazole inhibitors of cyclin dependent kinases
INVENTOR(S): Rawlins, David B.; Kimball, S. David; Misra, Raj N.; Kim, Kyoung S.; Webster, Kevin R.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965884	A1	19991223	WO 1999-US13034	19990611
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6407124	B1	20020618	US 1999-329616	19990610
CA 2332325	A1	19991223	CA 1999-2332325	19990611
AU 9944311	A	20000105	AU 1999-44311	19990611
AU 768751	B2	20040108		
EP 1087951	A1	20010404	EP 1999-927401	19990611
EP 1087951	B1	20050209		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518380	T	20020625	JP 2000-554710	19990611
AT 288904	T	20050215	AT 1999-927401	19990611
ES 2237919	T3	20050801	ES 1999-927401	19990611
US 20020165259	A1	20021107	US 2002-112133	20020329
US 6720347	B2	20040413		

PRIORITY APPLN. INFO.:		US 1998-89747P	P 19980618
		US 1999-329616	A3 19990610
		WO 1999-US13034	W 19990611

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 132:35695
GI



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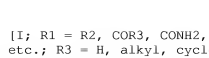


II

AB The title compds. [I; R1 = R2, COR3, CONH2, etc.; R2 = alkyl, cycloalkyl, heterocycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; A = (CR7R8)m(CR5R6)nR4 (wherein n = 0-2; m = 1-2 but both n and m cannot be 2), (CR7R8)jY(CR5R6)iR4 (i, j = 0-1 but cannot both be 1; Y =

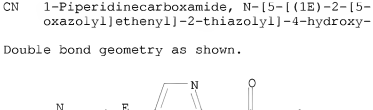
(un)substituted alkene, alkyne, any 2 adjacent carbon atoms of a cycloalkyl or cycloheteroalkyl ring of 3-7 atoms); R4 = alkyl, cycloalkyl, heterocycloalkyl, etc.; R5-R8 = H, alkyl, cycloalkyl, etc.], protein kinase inhibitors (no data) which are useful in the treatment of proliferative diseases, for example, cancer, inflammation, and arthritis, and also in the treatment of Alzheimer's disease, and cardiovascular disease, were prepared E.g., a multi-step synthesis of (E)-II, starting with 2-aminothiazol-5-ylcarboxaldehyde, was given.

IT 252661-22-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbon substituted aminothiazole inhibitors of cyclin dependent kinases)

RN 252661-22-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[5-[(1E)-2-[5-(1,1-dimethylethyl)-2-oxazolyl]ethenyl]-2-thiazolyl]-4-hydroxy- (CA INDEX NAME)

Double bond geometry as shown.



OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (43 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 133 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:784087 CAPLUS

DOCUMENT NUMBER: 132:22961

TITLE: Preparation of isothiazolamide urea derivatives as anticancer agents

INVENTOR(S): Larson, Eric Robert; Noe, Mark Carl; Gant, Thomas George

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962890	A1	19991209	WO 1999-IB797	19990503
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2333703	A1	19991209	CA 1999-2333703	19990503
CA 2333703	C	20050614		

CA	2475113	A1	19991209	CA 1999-2475113	19990503
CA	2475113	C	20080318		
AU	9933421	A	19991220	AU 1999-33421	19990503
BR	9910900	A	20010213	BR 1999-10900	19990503
EP	1084114	A1	20010321	EP 1999-914724	19990503
EP	1084114	B1	20040908		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
TR	2000003478	T2	20010321	TR 2000-3478	19990503
HU	2001002422	A2	20020529	HU 2001-2422	19990503
HU	2001002422	A3	20020628		
JP	2002517384	T	20020618	JP 2000-552102	19990503
JP	3735254	B2	20060118		
NZ	507009	A	20031128	NZ 1999-507009	19990503
AT	275553	T	20040915	AT 1999-914724	19990503
CN	1172918	C	20041027	CN 1999-806837	19990503
PT	1084114	E	20041231	PT 1999-914724	19990503
ES	2226368	T3	20050316	ES 1999-914724	19990503
CN	1616386	A	20050518	CN 2004-10076926	19990503
IL	138776	A	20060705	IL 1999-138776	19990503
CZ	298559	B6	20071107	CZ 2000-4451	19990503
PL	198151	B1	20080530	PL 1999-344691	19990503
SK	286405	B6	20080905	SK 2000-1778	19990503
US	6235764	B1	20010522	US 1999-316837	19990521
TW	561154	B	20031111	TW 1999-88108991	19990531
ZA	9903752	A	20001204	ZA 1999-3752	19990603
AP	1309	A	20040914	AP 1999-1560	19990603
BG	104998	A	20010731	BG 2000-104998	20001128
BG	65104	B1	20070228		
NO	2000006071	A	20001130	NO 2000-6071	20001130
NO	318798	B1	20050509		
MX	2000011849	A	20010521	MX 2000-11849	20001130
HR	2000000835	A2	20011231	HR 2000-835	20001204
HR	2000000835	B1	20080131		
US	20010020034	A1	20010906	US 2001-803296	20010309
US	6548526	B2	20030415		
HK	1036982	A1	20050401	HK 2001-107830	20011108
US	20030149048	A1	20030807	US 2003-357093	20030203
US	7405218	B2	20080729		
AU	2004202433	A1	20040701	AU 2004-202433	20040602
AU	2004202433	B2	20070419		
JP	2005002122	A	20050106	JP 2004-209396	20040716
AU	2007203344	A1	20070809	AU 2007-203344	20070718
US	20080300249	A1	20081204	US 2008-181803	20080729

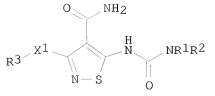
PRIORITY APPLN. INFO.:

US 1998-87963P	P	19980604
AU 1999-33421	A3	19990503
CA 1999-2333703	A3	19990503
JP 2000-552102	A3	19990503
WO 1999-IB797	W	19990503
US 1999-316837	A3	19990521
US 2001-803296	A3	20010309
US 2003-357093	A1	20030203
AU 2004-202433	A3	20040602

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 132:22961

GI



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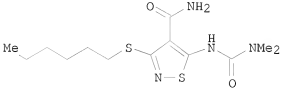


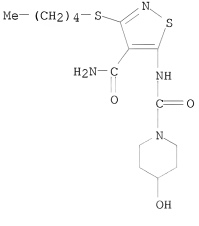
II

AB Title compds. (I) [X1 = O or S; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, acyl, (CH2)t(hetero)aryl, C(O)(CH2)t(hetero)aryl, etc.; t = 0-5; R2 = R1, SO2(CH2)t(hetero)aryl, etc.; or R1 and R2 taken together with the attached N = 4-10 membered (un)substituted poly- or monocyclic ring or 5-10 membered (un)substituted heteroaryl ring; R3 = H, (un)substituted alkyl, alkenyl, alkynyl, (CH2)t(hetero)aryl, etc.] were prepared for use in the treatment of hyperproliferative disorders, such as cancer. Thus, 3-(4-cyano-3-mercaptoisothiazol-5-yl)-1,1-dimethylurea (preparation given) was alkylated with 1-iodohexane (51%) and the product treated with concentrated H2SO4 to yield the isothiazolamide (II) (78%). I are inhibitors of receptor tyrosine kinases and bind to or modulate the KDR/FLK-1 receptor (no data) and may be used to treat disorders related to vasculogenesis or angiogenesis.

IT 1101899-44-8
RL: PRPH (Prophetic)
(Preparation of isothiazolamide urea derivatives as anticancer agents)

RN 1101899-44-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (28 CITINGS)

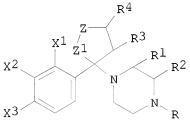
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 134 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:733849 CAPLUS
DOCUMENT NUMBER: 131:337032
TITLE: Preparation of N-(1-phenylcycloalkyl)piperidines and analogs as neuropeptide Y1 receptor ligands
INVENTOR(S): Blum, Charles A.; Hutchison, Alan; Peterson, John M.
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5985873	A	19991116	US 1997-897044	19970718

PRIORITY APPLN. INFO.: US 1997-897044 19970718
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 131:337032
GI



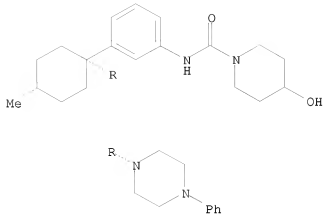
I

AB Title compds. [I; R = Ph, pyridyl, thienyl, pyrimidinyl, etc.; R1,R2 = H or alkyl; R3,R4 = H, alkyl, alkoxy; 1 of X1-X3 = NR7COR8 and the others = H; R7 = H or alkyl; R8 = (thio)morpholino, (4-substituted) piperidino, (4-alkyl) piperazino; Z = O, NR5, CR5R6; R5 = alkyl, phenyl(alkyl), pyridyl(alkyl); R6 = H, NH2, alkyl, alkoxy, etc.; Z1 = (CH2)1-3] were prepared as neuropeptide Y1 receptor ligands (no data). Thus, 4-methylcyclohexanone was condensed with 1-phenylpiperazine and KCN and the product condensed with 3-[(Me3Si)2N]C6H4MgCl to give, after deprotection, cis-I (R = Ph, R1-R4 = X1 = X3 = H, Z = CHMe, Z1 = CH2CH2)(II; X2 = NH2) which was condensed with COCl2 and 1,4-dioxa-8-azaspiro[4.5]decane to give, after hydrolysis, II (X2 = 4-oxopiperidinocarbonylamino).

IT 249732-72-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(1-phenylcycloalkyl)piperidines and analogs as neuropeptide Y1 receptor ligands)

RN 249732-72-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[3-[cis-4-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]-, hydrochloride (1:?) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 135 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER:	1999:325920 CAPLUS
DOCUMENT NUMBER:	130:352265
TITLE:	Preparation of aminothiazole inhibitors of cyclin dependent kinases
INVENTOR(S):	Kim, Kyoung S.; Kimball, S. David; Poss, Michael A.; Misra, Raj N.; Cai, Zhen-Wei; Rawlins, David B.; Webster, Kevin; Hunt, John T.; Han, Wen-Ching
PATENT ASSIGNEE(S):	Bristol-Myers Squibb Company, USA
SOURCE:	PCT Int. Appl., 132 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	10

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924416	A1	19990520	WO 1998-US23197	19981102
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309551	A1	19990520	CA 1998-2309551	19981102
CA 2309551	C	20060328		
AU 9912955	A	19990531	AU 1999-12955	19981102
AU 730607	B2	20010308		
TR 2000001344	T2	20000921	TR 2000-1344	19981102
BR 9814124	A	20001003	BR 1998-14124	19981102
EP 1042307	A1	20001011	EP 1998-956431	19981102
EP 1042307	B1	20071003		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				

CN 1278806	A	20010103	CN 1998-811091		19981102
CN 1160343	C	20040804			
JP 2001522842	T	20011120	JP 2000-520430		19981102
JP 4344084	B2	20091014			
HU 2000004559	A2	20020429	HU 2000-4559		19981102
NZ 503828	A	20030328	NZ 1998-503828		19981102
RU 2211839	C2	20030910	RU 2000-115305		19981102
IL 135589	A	20040620	IL 1998-135589		19981102
CZ 297907	B6	20070425	CZ 2000-1744		19981102
AT 374771	T	20071015	AT 1998-956431		19981102
PT 1042307	E	20071115	PT 1998-956431		19981102
ES 2296347	T3	20080416	ES 1998-956431		19981102
TW 593292	B	20040621	TW 1998-87118625		19981109
ZA 9810332	A	20000511	ZA 1998-10332		19981111
EG 24028	A	20080326	EG 1998-1406		19981112
NO 2000002153	A	20000511	NO 2000-2153		20000427
NO 316773	B1	20040503			
MX 2000004488	A	20001110	MX 2000-4488		20000509
HK 1029109	A1	20080403	HK 2000-107675		20001130
PRIORITY APPLN. INFO.:			US 1997-65195P	P	19971112
			WO 1998-US23197	W	19981102

OTHER SOURCE(S): MARPAT 130:352265

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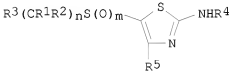


I

AB The title compds. I [R1, R2 = H, F, alkyl; R3 = aryl, heteroaryl; R4 = H, alkyl, cycloalkyl, aryl, etc.; R5 = H, alkyl; m = 0-2; n = 1-3] were prepared I are protein kinase inhibitors and are useful in the treatment and prevention of proliferative diseases, for example cancer, inflammation and arthritis (no data). E.g., N-[5-[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]acetamide was prepared

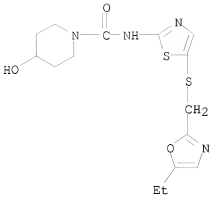
IT 224437-73-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminothiazole inhibitors of cyclin dependent kinases)

RN 224437-73-4 CAPLUS

CN 1-Piperidinecarboxamide, N-[5-[[(5-ethyl-2-oxazolyl)methyl]thio]-2-thiazolyl]-4-hydroxy- (CA INDEX NAME)



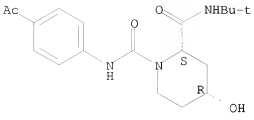
OS.CITING REF COUNT: 36 THERE ARE 36 CAPLUS RECORDS THAT CITE THIS RECORD (51 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 136 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:261205 CAPLUS
DOCUMENT NUMBER: 130:267220
TITLE: Practical synthesis of ureas
INVENTOR(S): Thavonekham, Bounkham
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: Can. Pat. Appl., 39 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2215585	A1	19980317	CA 1997-2215585	19970916
CA 2215585	C	20040420		
US 5925762	A	19990720	US 1997-931006	19970915
PRIORITY APPLN. INFO.:			US 1996-26202P P	19960917

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 130:267220; MARPAT 130:267220
AB The title process comprises treating Ph carbamates with an approx. stoichiometric amount of amine in DMSO at ambient temperature Thus, 4-(MeO2C)C6H4NH2 was amidated by ClCO2Ph and the product condensed with HNBu2 to give 94% (this step) 4-(MeO2C)C6H4NHCONBu2.
IT 199729-06-1P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(practical synthesis of ureas)
RN 199729-06-1 CAPLUS
CN 1,2-Piperidinedicarboxamide, N1-(4-acetylphenyl)-N2-(1,1-dimethylethyl)-4-hydroxy-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

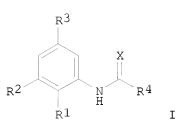
L4 ANSWER 137 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:126872 CAPLUS
DOCUMENT NUMBER: 130:196506
TITLE: Derivatives of 2,5- and 3,5-disubstituted anilines, their preparation, and use as potassium channel openers
INVENTOR(S): Dorwald, Florencio Zaragoza; Hansen, John Bondo; Mogensen, John Patrick; Tagmose, Tina Moller; Pirotte, Bernard; Lebrun, Philippe; De Tullio, Pascal; Boverie, Stephane; Delarge, Jacques
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907672	A1	19990218	WO 1998-DK337	19980724
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9885341	A	19990301	AU 1998-85341	19980724
EP 1019367	A1	20000719	EP 1998-936271	19980724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2003524574	T	20030819	JP 2000-507208	19980724
IN 1998MA01741	A	20050304	IN 1998-MA1741	19980804
ZA 9807026	A	20000207	ZA 1998-7026	19980805

PRIORITY APPLN. INFO.:

DK 1997-906	A	19970805
US 1997-55193P	P	19970811
WO 1998-DK337	W	19980724

OTHER SOURCE(S): MARPAT 130:196506
GI



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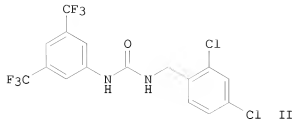


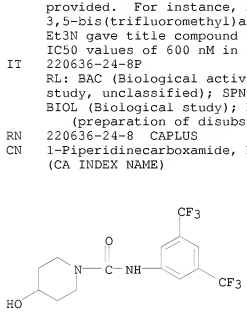
II

AB Substituted anilines I [R1, R2 = H, CF3, halo, provided that both R1 and R2 ≠ H; R3 = CF3 or halo; R4 = (un)substituted alkyl or YR5; Y = O or NR6; R5, R6 = (un)substituted alkyl; or R5 and R6 form a 3- to 8-membered ring; X = O or S], their compns., and methods for preparing them are described. I are useful for the treatment of diseases of the central nervous system, the cardiovascular system, the pulmonary system, the urogenital system, the gastrointestinal system and the endocrinol. system. In particular, the compds. are claimed as potassium channel openers useful in the treatment of endocrinol. diseases such as diabetes. Approx. 220 compds. are listed and claimed, and synthetic examples for several are provided. For instance, reaction of 2,4-dichlorobenzyl isocyanate with 3,5-bis(trifluoromethyl)aniline in PhMe at 90° in the presence of Et3N gave title compound II in 34% yield. The most active compds. showed IC50 values of 600 nM in an assay for potassium channel openers.

IT 220636-24-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of disubstituted aniline derivs. as potassium channel openers)

RN 220636-24-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 138 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:87720 CAPLUS

DOCUMENT NUMBER: 128:154098

ORIGINAL REFERENCE NO.: 128:30372h,30373a

TITLE: Preparation of certain substituted benzylamine derivatives such as amides of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane as a new class of neuropeptide Y1 specific ligands

INVENTOR(S): Blum, Charles A.; Hutchison, Alan; Peterson, John M.

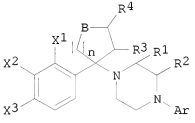
PATENT ASSIGNEE(S): Neurogen Corp., USA

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9803493	A1	19980129	WO 1997-US12616	19970718
W: CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2260982	A1	19980129	CA 1997-2260982	19970718
EP 915860	A1	19990519	EP 1997-934218	19970718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000515151	T	20001114	JP 1998-507103	19970718
MX 9900868	A	20000331	MX 1999-868	19990122
PRIORITY APPLN. INFO.:			US 1996-22329P P	19960723
			WO 1997-US12616 W	19970718

OTHER SOURCE(S): MARPAT 128:154098
GI



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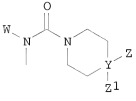
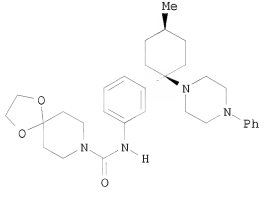


II



III

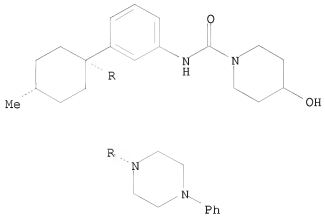
AB The title compds. [I; one of X1, X2 and X3 = II and the remaining X1, X2 and X3 = H; W = H, C1-6 alkyl; Y = C, N, O, S; when Y = C then ZZ1 = N(OH), O, O(CH2)mO (wherein m = 2-3) or Z1 = H and Z = H, OH, NH2, etc.; when Y = N then Z = H, C1-6 alkyl and Z1 does not exist; Ar = (un)substituted Ph, pyridyl, thienyl, pyrimidyl; B = S, O, N(R5), C(R5)(R6); n = 1-3; R1, R2 = H, C1-6 alkyl; R3, R4 = H, C1-6 alkyl, C1-6 alkoxy; R5 = H, C1-6 alkyl, Ph, etc.; R6 = H, OH, NH2, etc.], useful in the diagnosis and treatment of feeding disorders such as obesity and bulimia and cardiovascular diseases such as essential hypertension and congestive heart failure due to the binding of these compds. to human neuropeptide Y1 receptors, were prepared Thus, treatment of

cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane (preparation described) with phosgene in the presence of Et3N in CH2Cl2 followed by addition of 1,4-dioxa-8-azaspiro[4.5]decane afforded the title compound cis-III. Compds. I are effective at 0.1-140 mg/kg/day.

IT 202472-22-8P 202472-28-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of certain substituted benzylamine derivs. such as amides of cis-1-(3-aminophenyl)-1-(4-phenyl-1-piperazinyl)-4-methylcyclohexane as a new class of neuropeptide Y1 specific ligands)

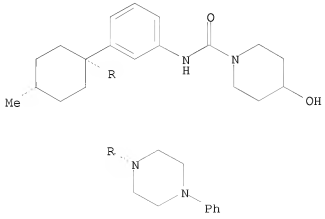
RN 202472-22-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[3-[cis-4-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]- (CA INDEX NAME)

Relative stereochemistry.



RN 202472-28-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-hydroxy-N-[3-[cis-4-methyl-1-(4-phenyl-1-piperazinyl)cyclohexyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.



● HCl

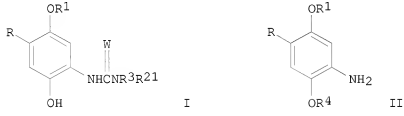
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD

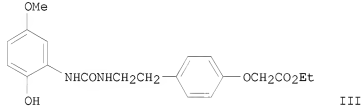
(5 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 139 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:731400 CAPLUS
DOCUMENT NUMBER: 128:3549
ORIGINAL REFERENCE NO.: 128:767a,770a
TITLE: Preparation of N-(2,5-dihydroxyphenyl)urea derivatives having antioxidant and active oxygen-quenching activities
INVENTOR(S): Suzuki, Toshikazu; Omizu, Hiroshi; Hashimura, Yoshimasa; Kubota, Hitoshi; Saito, Keiko
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09278737	A	19971028	JP 1997-28583	19970213
PRIORITY APPLN. INFO.:			JP 1996-28843 A	19960216
OTHER SOURCE(S):	MARPAT 128:3549			

GI





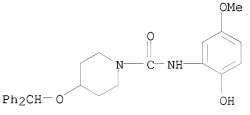
AB The title phenol derivs. [I; R = H, lower alkyl or alkoxy; R1 = lower alkyl; W = O, S, NR5; wherein R5 = H, lower alkyl, aryl, OH, lower alkoxy; R21 = substituted alkyl; R3 = H, (un)substituted lower alkyl; or NR21R3 = N-containing heterocyclyl] and pharmacol. acceptable salts thereof are prepared by reaction of 2,5-dihydroxyaniline derivs. (II; R, R1 = same as above; R4 = protecting group for the HO group) with COCl2 or triphosgene and then with HNR21R3 (R3, R21 = same as above) followed by deprotection. These compds. I also possess excellent activities for inhibiting lipid peroxidn., foam cell formation of macrophages, oxidative LDL formation, ACAT, and reperfusion-induced arrhythmia and are reduced in toxicity and

thereby are useful for treatment and prevention of arteriosclerosis, ischemic diseases such as cerebral and myocardial infarction, cell damages during ischemia and/or reperfusion, inflammation, and arrhythmia (no data). Thus, a cooled (-78°) solution of COCl2 in CH2Cl2 was added dropwise to a solution of (2-amino-4-methoxyphenoxy)methoxymethane and Et3N in CH2Cl2 and after warming to 0°, the solvent was evaporated under reduced pressure to give a residue. The latter residue was dissolved in CH2Cl2, followed by adding dropwise a solution of 2-(4-ethoxycarbonylmethoxyphenyl)ethylamine hydrochloride and Et3N in CH2Cl2, and the resulting mixture was stirred at room temperature for 1 h to give, after treatment with a mixture of concentrated HCl and EtOH, the title compound (III).

IT 198756-65-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(dihydroxyphenyl)urea derivs. having antioxidant and active oxygen-quenching activities for treatment of diseases)

RN 198756-65-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-(diphenylmethoxy)-N-(2-hydroxy-5-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 140 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:702201 CAPLUS
DOCUMENT NUMBER: 128:34510
ORIGINAL REFERENCE NO.: 128:6801a,6804a
TITLE: A practical synthesis of ureas from phenyl carbamates
AUTHOR(S): Thavonekham, Bounkham
CORPORATE SOURCE: Bio-Mega Research Division, Boehringer Ingelheim Ltd., Laval, QC, H7S 2G5, Can.
SOURCE: Synthesis (1997), (10), 1189-1194
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Thieme
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:34510

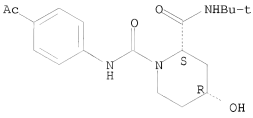
AB Using DMSO as solvent, a mild and efficient procedure for the synthesis of unsym. N,N'-disubstituted ureas from Ph carbamates is described. The carbamates are treated with a stoichiometric amount of amine at ambient temperature, generating the ureas in high yield and high purity. The reaction is mild, fast, and easily scaled up.

IT 199729-06-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of ureas from Ph carbamates)

RN 199729-06-1 CAPLUS

CN 1,2-Piperidinedicarboxamide, N1-(4-acetylphenyl)-N2-(1,1-dimethylethyl)-4-hydroxy-, (2S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

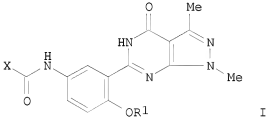


OS.CITING REF COUNT: 34 THERE ARE 34 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)

L4 ANSWER 141 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1996:751800 CAPLUS
DOCUMENT NUMBER: 126:31225
ORIGINAL REFERENCE NO.: 126:6353a,6356a
TITLE: Preparation of 1H-pyrazolo[3,4-d]pyrimidin-4-one derivatives as phosphodiesterase inhibitors
INVENTOR(S): Oota, Tomoki; Taguchi, Minoru; Kawashima, Yutaka; Hatayama, Katsuo; Tomizawa, Kazuyuki
PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08253484	A	19961001	JP 1996-5930	19960117
JP 3713783	B2	20051109		
PRIORITY APPLN. INFO.:			JP 1995-6986 A	19950120
OTHER SOURCE(S):	MARPAT	126:31225		

GI



I

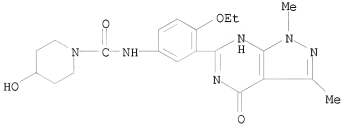
AB Title compds. I [R1 = C1-4 alkyl; X = phenoxy, NR2R3; R2, R3 = H, C2-4 hydroxyalkyl, or NR2R3 = morpholino, piperidino, etc.], phosphodiesterase inhibitors and therefore useful for treatment of hypertension and other cardiovascular diseases, (no data), are prepared Thus, I [R1 = Pr, X = PhO] was prepared from 6-(5-amino-2-propoxyphenyl)-4,5-dihydro-1,3-dimethyl-1H-pyrazolo[3,4-d]pyrimidin-4-one (preparation given) and Ph chloroformate. This was further reacted with morpholine to give I [R1 = Pr, X = morpholino]. In an in vitro study, this had an IC50 of 2.4 μM against phosphodiesterase.

IT 184356-81-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1H-pyrazolo[d]pyrimidinone derivs. as phosphodiesterase inhibitors)

RN 184356-81-8 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(4,5-dihydro-1,3-dimethyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)-4-ethoxyphenyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

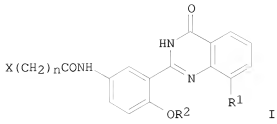
L4 ANSWER 142 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER:	1996:446568 CAPLUS
DOCUMENT NUMBER:	125:114672
ORIGINAL REFERENCE NO.:	125:21527a,21530a
TITLE:	Preparation of quinazoline derivatives as cyclic GMP phosphodiesterase inhibitors
INVENTOR(S):	Oota, Tomoki; Taguchi, Minoru; Kawashima, Yutaka; Hatayama, Katsuo
PATENT ASSIGNEE(S):	Taisho Pharmaceutical Co., Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 13 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

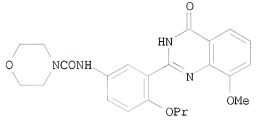
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08104679	A	19960423	JP 1995-175879	19950712
JP 3702493	B2	20051005		
PRIORITY APPLN. INFO.:			JP 1995-175879 A	19950712
			JP 1994-190388	19940812
OTHER SOURCE(S):	MARPAT 125:114672			

GI



I



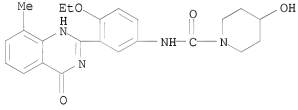
II

AB The title compds. I [R1 = H, Me, etc.; R2 = alkyl; n = 0 or 1; X = halo, etc.] are prepared The title compound II (NMR data given) in vitro showed IC50 of 2.9 nM against cyclic GMP phosphodiesterase.

IT 178937-86-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs. as cyclic GMP phosphodiesterase inhibitors)

RN 178937-86-5 CAPLUS

CN 1-Piperidinecarboxamide, N-[3-(3,4-dihydro-8-methyl-4-oxo-2-quinazolinyl)-4-ethoxyphenyl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 143 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:241537 CAPLUS

DOCUMENT NUMBER: 124:289561

ORIGINAL REFERENCE NO.: 124:53702h,53703a

TITLE: Preparation of thienopyrimidinones as cyclic GMP phosphodiesterase inhibitors

INVENTOR(S): Oota, Tomoki; Kawashima, Yutaka; Hatayama, Katsuo

PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

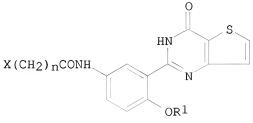
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07330777	A	19951219	JP 1994-126555	19940608
PRIORITY APPLN. INFO.:			JP 1994-126555	19940608

OTHER SOURCE(S): MARPAT 124:289561
GI



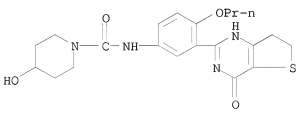
I

AB The title compds. I [R1 = alkyl; n = 0 or 1; X = halo, cycloalkyl, etc.] are prepared I [X = morpholino; n = 0; R1 = ethyl] (preparation given) at 28 μg/Kg decreased blood pressure in rats by 15 mmHg.

IT 175595-30-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienopyrimidinones as cyclic GMP phosphodiesterase inhibitors)

RN 175595-30-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-[4-propoxy-3-(3,4,6,7-tetrahydro-4-oxothieno[3,2-d]pyrimidin-2-yl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

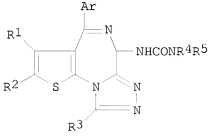
L4 ANSWER 144 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER:	1995:921905 CAPLUS
DOCUMENT NUMBER:	123:340203
ORIGINAL REFERENCE NO.:	123:61067a,61070a
TITLE:	Preparation of thienotriazolodiazepines as inflammation inhibitors
INVENTOR(S):	Moriwaki, Minoru; Kitani, Hiroyuki; Ebara, Hideji; Komatsu, Hiroshi; Nagasawa, Mariko
PATENT ASSIGNEE(S):	Yoshitomi Pharmaceutical Industries, Ltd., Japan; Mitsubishi Welpharma Co.
SOURCE:	Jpn. Kokai Tokkyo Koho, 13 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07179471	A	19950718	JP 1994-279036	19941114
JP 3633008	B2	20050330		

PRIORITY APPLN. INFO.: JP 1993-285328 A 19931115
OTHER SOURCE(S): MARPAT 123:340203
GI



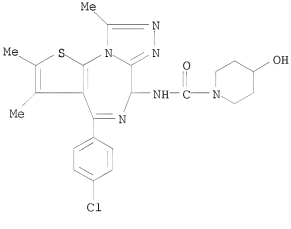
I

AB The title compds. I [Ar = Ph, etc.; R1 - R3 = Me, etc.; R4, R5 = hydroxyalkyl, etc.; or R4 and R5 may together form a ring] are prepared In the oxazolone challenge test, the average weight increase of ears treated with oxazolone in mice dosed with I [Ar = 4-ClC6H4; R1 = R2 = R3 = methyl; NR4R5 = NH(CH2)2OH] (preparation given) at 10 mg/Kg/day orally for 8 days was 11.2 ± 0.8 mg, vs. 17.7 ± 0.5 mg for controls treated with oxazolone alone.

IT 170365-98-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienotriazolodiazepines as inflammation inhibitors)

RN 170365-98-7 CAPLUS

CN 1-Piperidinecarboxamide, N-[4-(4-chlorophenyl)-2,3,9-trimethyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepin-6-yl]-4-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 145 OF 154 CAPLUS

ACCESSION NUMBER: 1995:858623 CAPLUS
DOCUMENT NUMBER: 123:256357
ORIGINAL REFERENCE NO.: 123:45843a,45846a
TITLE: Preparation of anthranilic acid amide derivative as cyclic guanosine monophosphate-phosphodiesterase inhibitors
INVENTOR(S): Ozaki, Fumihiro; Ishibashi, Keiji; Ikuta, Hironori; Ishihara, Hiroki; Souda, Shigeru
PATENT ASSIGNEE(S): Japan
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9518097	A1	19950706	WO 1994-JP2262		19941227
W: AU, CA, CN, FI, HU, KR, NO, NZ, RU, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2155662	A1	19950706	CA 1994-2155662		19941227
AU 9512824	A	19950717	AU 1995-12824		19941227
AU 694465	B2	19980723			
EP 686625	A1	19951213	EP 1995-903999		19941227
EP 686625	B1	19990526			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
CN 1118595	A	19960313	CN 1994-191311		19941227
JP 08188563	A	19960723	JP 1994-336920		19941227
JP 3837673	B2	20061025			
HU 74450	A2	19961230	HU 1995-2512		19941227
RU 2128644	C1	19990410	RU 1995-120194		19941227
AT 180468	T	19990615	AT 1995-903999		19941227
FI 9503968	A	19951019	FI 1995-3968		19950823
NO 9503305	A	19951025	NO 1995-3305		19950823
US 5716993	A	19980210	US 1995-507476		19950914
PRIORITY APPLN. INFO.:			JP 1993-347092	A	19931227
			JP 1994-299110	A	19941109
			WO 1994-JP2262	W	19941227

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 123:256357
GI

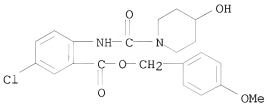
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Anthranilamide derivs. [I; R1, R2, R3, R4 = H, halo, OH, (halo)alkyl, (halo)alkoxy, nitro, hydroxyalkyl, cyano, (CH2)pNR9R10, S(O)qR13, (un)protected CO2H, (un)substituted tetrazolyl, CONH2, pyrazolyl, or imidazolyl; or adjacent two substituents selected from R1 - R4 together with the C atoms bonded to them forms a ring; wherein R9, R10 = H, (halo)alkyl, arylalkyl, heteroarylalkyl, acyl, (un)protected CO2H; or NR9R10 forms a ring; p = 0, 1-6; R13 = H, (halo)alkyl; q = 0, 1-2; R5, R6 = H, halo, OH, cyano, (halo)alkyl, (halo)alkoxy; or R5 and R6 together with the C atoms bonded to them form cycloalkane, oxolane, 1,3-dioxolane, or 1,4-dioxane ring; W = N, CH; R7, R8 = H, (halo)alkyl; or R1 and R7 together with the C atoms bonded to them form a ring optionally containing other N, O, or S atom; A = H, (halo)alkyl, X(CH2)mZ; wherein X = CO, CS, CH2, SO2; Z = OH, (halo)alkoxy, cyano, halo, etc.; Y = O, S; n = 0, 1-6] or pharmacol. acceptable salts thereof are prepared These compds. are

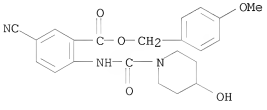
useful for the treatment of ischemic heart disease, angina pectoris, hypertension, pulmonary hypertension, heart failure, and asthma. Thus, 2-nitro-5-chlorobenzoic acid was refluxed with SOCl2 in benzene for 4 h and concentrated to give 2-nitro-5-chlorobenzoyl chloride which was amidated with piperonylamine in the presence of Et3N in THF to give a benzamide (II; R = NO2). This compound was reduced by Fe powder in a mixture of AcOH, H2O, and MeOH under gentle refluxing to give, after concentration and treatment with concentrated HCl in EtOH, N-piperonylanthranilamide derivative II. HCl (R = NH2). An anthranilamide derivative (III) showed IC50 of 0.4 nM against cyclic guanosine monophosphate-phosphodiesterase preparation from pig aorta.

IT 169044-75-1P 169044-76-2P 169044-78-4P
169044-79-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for preparation of anthranilamide derivs. as cyclic guanosine monophosphate-phosphodiesterase inhibitors)

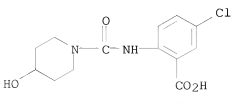
RN 169044-75-1 CAPLUS
CN Benzoic acid, 5-chloro-2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-, (4-methoxyphenyl)methyl ester (CA INDEX NAME)



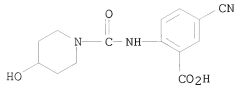
RN 169044-76-2 CAPLUS
CN Benzoic acid, 5-cyano-2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-, (4-methoxyphenyl)methyl ester (CA INDEX NAME)



RN 169044-78-4 CAPLUS
CN Benzoic acid, 5-chloro-2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]- (CA INDEX NAME)

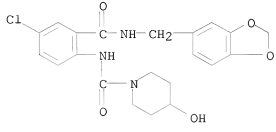


RN 169044-79-5 CAPLUS
CN Benzoic acid, 5-cyano-2-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]- (CA INDEX NAME)

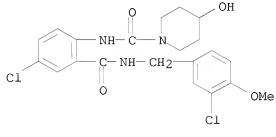


IT 169043-97-4P 169043-99-6P 169044-00-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anthranilamide derivs. as cyclic guanosine monophosphate-phosphodiesterase inhibitors)

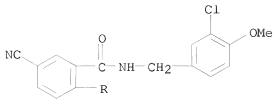
RN 169043-97-4 CAPLUS
CN 1-Piperidinecarboxamide, N-[2-[[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-chlorophenyl]-4-hydroxy- (CA INDEX NAME)

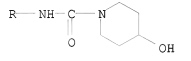


RN 169043-99-6 CAPLUS
CN 1-Piperidinecarboxamide, N-[4-chloro-2-[[[(3-chloro-4-methoxyphenyl)methyl]amino]carbonyl]phenyl]-4-hydroxy- (CA INDEX NAME)



RN 169044-00-2 CAPLUS
CN 1-Piperidinecarboxamide, N-[2-[[[(3-chloro-4-methoxyphenyl)methyl]amino]carbonyl]-4-cyanophenyl]-4-hydroxy- (CA INDEX NAME)



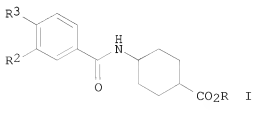


OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 146 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1995:849158 CAPLUS
DOCUMENT NUMBER: 123:256522
ORIGINAL REFERENCE NO.: 123:45879a,45882a
TITLE: Preparation of amide group-containing compounds as antithrombotics
INVENTOR(S): Himmelsbach, Frank; Linz, Guenter; Pieper, Helmut; Austel, Volkhard; Mueller, Thomas; Weisenberger, Johannes; Guth, Brian
PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany
SOURCE: Ger. Offen., 46 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4326344	A1	19950209	DE 1993-4326344	19930805
EP 638553	A1	19950215	EP 1994-111620	19940726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2129374	A1	19950206	CA 1994-2129374	19940803
JP 07179424	A	19950718	JP 1994-183292	19940804

PRIORITY APPLN. INFO.: DE 1993-4326344 A 19930805
OTHER SOURCE(S): CASREACT 123:256522; MARPAT 123:256522
GI



I

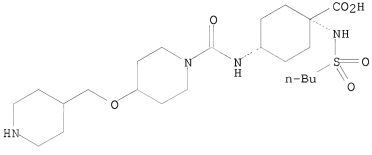
AB R1Z1Z2ZZ3Z4R4 [R1 = (un)substituted (di)azacycloalkyl, pyridyl; R4 = CO2H,

alkoxycarbonyl, SO2H, tetrazolyl, etc.; Z = COZ5, Z5CO, Z5CONH, NHCOZ5, etc.; Z1 = bond, alk(en)ylene, O, S, NH, etc.; Z2 = (un)substituted phenylene, cycloalkylene, etc.; Z3 = alk(en)ylene, phenylene, etc.; Z4 = bond, OZ5, SO0-2Z5, NHZ5, etc.; Z5 = alkylene] were prepared Thus, quinuclidine was condensed with the ylide from 3-(Ph3P+H2C)C6H4CO2Me Br- and the reduced and saponified product condensed with Me trans-4-aminocyclohexanecarboxylate to give title compound trans-I.HCl (R = Me, R2 = 4-quinuclidinylethyl, R3 = H). Trans-I.HCl (R = R2 = H, R3 = 4-quinuclidinylmethoxy) had IC50 of 85nM against BIBU 52 binding at human thrombocytes in vitro.

IT 168890-89-9P 168890-90-2P 168890-91-3P
168891-26-7P 168891-63-2P 168891-64-3P
168891-65-4P 168891-71-2P 168891-76-7P
168892-34-0P 168892-35-1P 168892-36-2P
168892-38-4P 168892-41-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide group-containing compds. as antithrombotics)

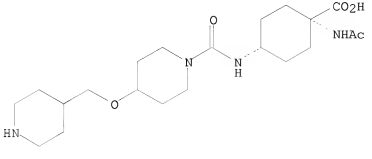
RN 168890-89-9 CAPLUS
CN Cyclohexanecarboxylic acid, 1-[(butylsulfonyl)amino]-4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



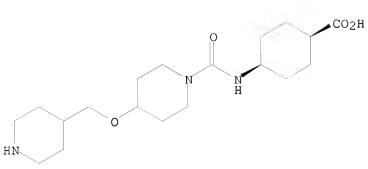
RN 168890-90-2 CAPLUS
CN Cyclohexanecarboxylic acid, 1-(acetylamino)-4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



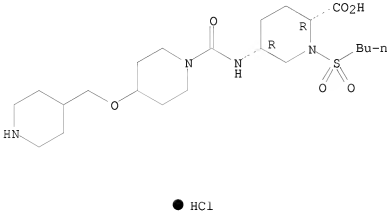
RN 168890-91-3 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, cis- (CA INDEX NAME)

Relative stereochemistry.



RN 168891-26-7 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-(butylsulfonyl)-5-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, monohydrochloride, cis- (9CI) (CA INDEX NAME)

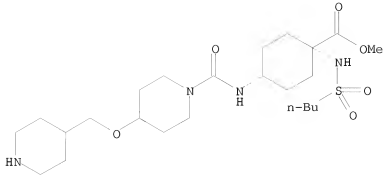
Relative stereochemistry.



● HCl

RN 168891-63-2 CAPLUS
CN Cyclohexanecarboxylic acid, 1-[(butylsulfonyl)amino]-4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, methyl ester, monohydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

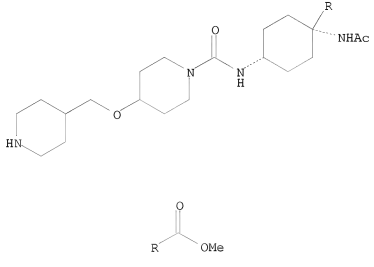


● HCl

RN 168891-64-3 CAPLUS
CN Cyclohexanecarboxylic acid, 1-(acetylamino)-4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, methyl ester, monohydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

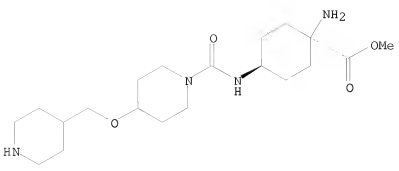


PAGE 2-A

● HCl

RN 168891-65-4 CAPLUS
CN Cyclohexanecarboxylic acid, 1-amino-4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, methyl ester, dihydrochloride, cis- (9CI) (CA INDEX NAME)

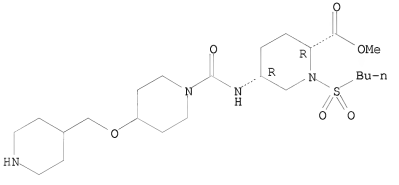
Relative stereochemistry.



● 2 HCl

RN 168891-71-2 CAPLUS
CN 2-Piperidinecarboxylic acid, 1-(butylsulfonyl)-5-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, methyl ester, monohydrochloride, cis- (9CI) (CA INDEX NAME)

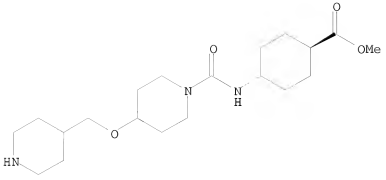
Relative stereochemistry.



● HCl

RN 168891-76-7 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[4-(4-piperidinylmethoxy)-1-piperidinyl]carbonyl]amino]-, methyl ester, monohydrochloride, trans- (9CI) (CA INDEX NAME)

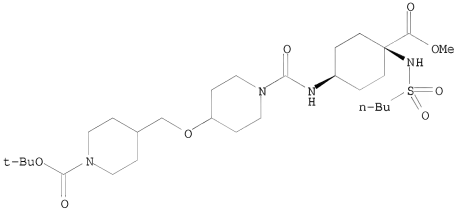
Relative stereochemistry.



● HCl

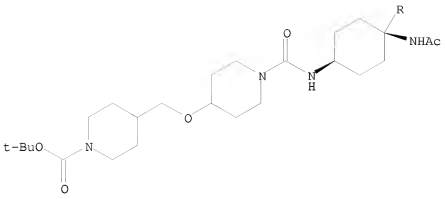
RN 168892-34-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-[[[4-[(butylsulfonyl)amino]-4-(methoxycarbonyl)cyclohexyl]amino]carbonyl]-4-piperidinyl]oxy]methyl]-, 1,1-dimethylethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



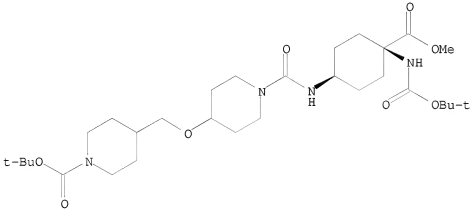
RN 168892-35-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-[[[4-(acetylamino)-4-(methoxycarbonyl)cyclohexyl]amino]carbonyl]-4-piperidinyl]oxy]methyl]-, 1,1-dimethylethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



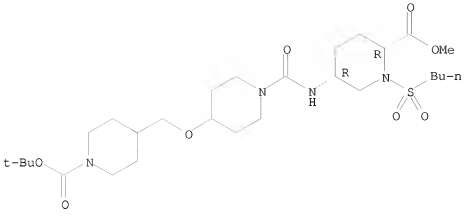
RN 168892-36-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-[[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-4-(methoxycarbonyl)cyclohexyl]amino]carbonyl]-4-piperidinyl]oxy]methyl]-, 1,1-dimethylethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



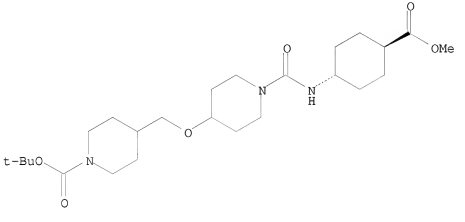
RN 168892-38-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-[[[1-(butylsulfonyl)-6-(methoxycarbonyl)-3-piperidinyl]amino]carbonyl]-4-piperidinyl]oxy]methyl]-, 1,1-dimethylethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 168892-41-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-[[[4-(methoxycarbonyl)cyclohexyl]amino]carbonyl]-4-piperidinyl]oxy]methyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

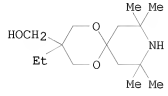


OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

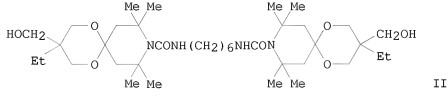
L4 ANSWER 147 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1984:511953 CAPLUS
DOCUMENT NUMBER: 101:111953
ORIGINAL REFERENCE NO.: 101:17113a,17116a
TITLE: Polyalkyl piperidines
INVENTOR(S): Karrer, Friedrich
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 108709	A2	19840516	EP 1983-810447	19831003

EP 108709 A3 19861008
R: DE, FR, GB, IT
US 4569997 A 19860211 US 1983-537134 19830929
JP 60084268 A 19850513 JP 1983-189125 19831008
PRIORITY APPLN. INFO.: CH 1982-5924 A 19821008
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 101:111953
GI



I



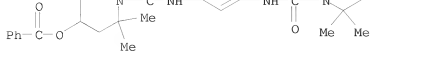
II

AB Hindered amines are prepared by reaction of 2,2,6,6-tetramethylpiperidine derivs. with di- or triisocyanates at -20° to +50° in an inert solvent, and are useful as light stabilizers for polymers, especially binders for lacquers. Thus, 0.2 mol I [53463-86-8] was treated with 0.1 mol hexamethylene diisocyanate [822-06-0] in THF at 22-25°, stirred overnight, and worked up to give the carbamoyl compound (II) [91815-75-7] with m.p. 113-115°. A film (0.1-mm thick) prepared from polypropylene [9003-07-0] 100, octadecyl β-(3,5-di-tert-butyl-4-hydroxyphenyl)propionate 0.2, Ca stearate 0.1, and II 0.25 part could be photoirradiated for >3420 h before the CO extinction value at 5.85 μ reached .apprx.0.3, a value at which a control film became brittle and which was reached in the control after 900 h.

IT 91815-72-4 91815-73-5
RL: PEP (Physical, engineering or chemical process); PROC (Process)
(light stabilizers, for polymers)

RN 91815-72-4 CAPLUS

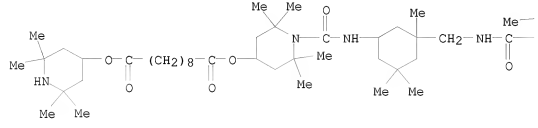
CN 1-Piperidinecarboxamide, N,N'-(4-methyl-1,3-phenylene)bis[4-(benzoyloxy)-2,2,6,6-tetramethyl- (9CI) (CA INDEX NAME)



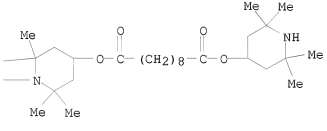
RN 91815-73-5 CAPLUS

CN Decanedioic acid, 1-[[[3-[[[4-[[1,10-dioxo-10-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]decyl]oxy]-2,2,6,6-tetramethyl-1-piperidinyl]carbonyl]amino]methyl]-3,5,5-trimethylcyclohexyl]amino]carbonyl]-2,2,6,6-tetramethyl-4-piperidinyl 2,2,6,6-tetramethyl-4-piperidinyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

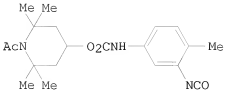


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 148 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1984:193629 CAPLUS
DOCUMENT NUMBER: 100:193629
ORIGINAL REFERENCE NO.: 100:29443a,29446a
TITLE: Polyalkylpiperidine derivatives containing isocyanate groups
INVENTOR(S): Karrer, Freidrich
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 94343	A1	19831116	EP 1983-810168	19830421
R: CH, DE, FR, GB, IT, LI				
JP 58194862	A	19831112	JP 1983-74862	19830427

PRIORITY APPLN. INFO.: CH 1982-2567 A 19820427
OTHER SOURCE(S): MARPAT 100:193629
GI



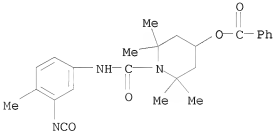
III

AB A diisocyanate such as 2,4-tolylene diisocyanate (I) [584-84-9], isophorone diisocyanate [4098-71-9], or OCN(CH2)6NCO [822-06-0] and a piperidine derivative containing 1 or 2 isocyanate-reactive groups, such as 1-acetyl-4-hydroxy-2,2,6,6-tetramethylpiperidine (II) [63941-51-5], 1-benzyl-4-hydroxy-2,2,6,6-tetramethylpiperidine [52185-71-4], 1,2,2,6,6-pentamethyl-4-(octylamino)piperidine [90075-87-9], 4-benzoyloxy-2,2,6,6-tetramethylpiperidine [26275-88-7], or 4-hydroxy-1-(2-hydroxyethyl)-2,2,6,6-tetramethylpiperidine [52722-86-8], are used to prepare isocyanate group-containing compds., such as compd.III [90075-88-0], which are useful as light stabilizers in polymers, especially in acrylic polymer coatings. The isocyanate groups react with functional groups of the polymers, preventing migration of the stabilizers. Thus, 34.8 g I in 100 mL THF was treated slowly at 50° with 100 mL THF containing 19.9 g II to give III.

IT 90075-85-7P 90075-86-8P
RL: PREP (Preparation)
(preparation of, as reactive light stabilizer for polymers)

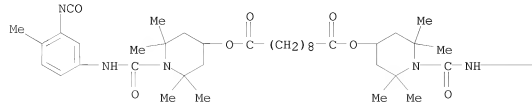
RN 90075-85-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-(benzoyloxy)-N-(3-isocyanato-4-methylphenyl)-2,2,6,6-tetramethyl- (CA INDEX NAME)



RN 90075-86-8 CAPLUS

CN Decanedioic acid, 1,10-bis[1-[[(3-isocyanato-4-methylphenyl)amino]carbonyl]-2,2,6,6-tetramethyl-4-piperidinyl] ester (CA INDEX NAME)

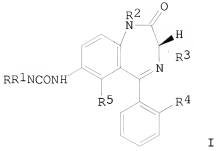


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 149 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1983:595009 CAPLUS
DOCUMENT NUMBER: 99:195009
ORIGINAL REFERENCE NO.: 99:30027a,30030a
TITLE: Benzodiazepines and medicines containing them
INVENTOR(S): Cassal, Jean Marie; Fischli, Albert Eduard; Szente, Andre
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 84357	A1	19830727	EP 1983-100295	19830114
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CA 1202023	A1	19860318	CA 1982-416049	19821122
US 4474777	A	19841002	US 1982-450603	19821217
AU 8310313	A	19830728	AU 1983-10313	19830112
ZA 8300207	A	19831026	ZA 1983-207	19830112
IL 67675	A	19860131	IL 1983-67675	19830113
FI 8300134	A	19830720	FI 1983-134	19830114
JP 58124774	A	19830725	JP 1983-4318	19830117
HU 31150	A2	19840428	HU 1983-135	19830117
HU 191041	B	19861228		
DK 8300193	A	19830720	DK 1983-193	19830118
NO 8300161	A	19830720	NO 1983-161	19830118

PRIORITY APPLN. INFO.: CH 1982-313 A 19820119
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 99:195009
GI



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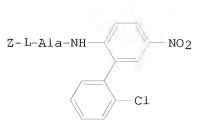


II

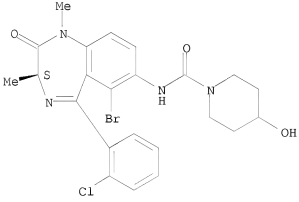
AB Title compds. I R = H, R1 = glucosyl, galactosyl, mannosyl, OH-substituted alkyl; RR1N = OH-substituted azetidino, piperidino, pyrrolidino; R2 = alkyl, R3 = H, Me; R4, R5 = halo) were prepared as inhibitors of cholesterol absorption. Thus, Z-L-Ala-OH (Z = PhCH2O2C) was treated with SOCl2 and then amidated with 2-amino-5-nitro-2'-chlorobenzophenone to give anilide II. II was Z-deblocked by HBr/HOAc and then cyclized to give (S)-5-(2-chlorophenyl)-1,3-dihydro-3-methyl-7-nitro-2H-1,4-benzodiazepin-2-one, which was converted in 6 steps to I (R = H, R1 = (HOCH2)3C, R2 = R3 = Me, R4 = Cl, R5 = Br) (III). In mice, 100 μmol III/kg (oral) reduced intestinal absorption of cholesterol by 70%.

IT 87634-82-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 87634-82-0 CAPLUS

CN 1-Piperidinecarboxamide, N-[6-bromo-5-(2-chlorophenyl)-2,3-dihydro-1,3-dimethyl-2-oxo-1H-1,4-benzodiazepin-7-yl]-4-hydroxy-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



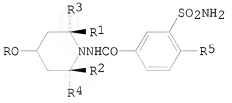
L4 ANSWER 150 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER:	1979:557614 CAPLUS
DOCUMENT NUMBER:	91:157614
ORIGINAL REFERENCE NO.:	91:25437a,25440a
TITLE:	Benzamidopiperidine derivatives
INVENTOR(S):	Wiskott, Erik
PATENT ASSIGNEE(S):	Sandoz-Patent-G.m.b.H., Switz.
SOURCE:	Ger. Offen., 18 pp. CODEN: GWXXBX
DOCUMENT TYPE:	Patent

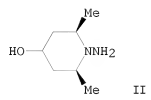
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2802812	A1	19790726	DE 1978-2802812	19780123

PRIORITY APPLN. INFO.: DE 1978-2802812 19780123
GI



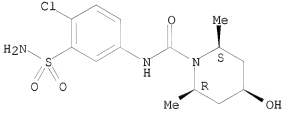
I



II

AB The saluretic (no data) compds. I [R = H, acyl, (substituted) Bz; R1-R4 = H, C1-4 alkyl; R1R2 = C2-3 alkylene; R5 = halogen, CF3] and their salts were prepared Thus, II reacted with 4,3-Cl(H2NSO2)C6H3COCl in CHCl3 to give I (R = R3 = R4 = H, R1 = R2 = Me, R5 = Cl).
IT 71581-87-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 71581-87-8 CAPLUS
CN 1-Piperidinecarboxamide, N-[3-(aminosulfonyl)-4-chlorophenyl]-4-hydroxy-2,6-dimethyl-, (2α,4α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

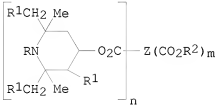


L4 ANSWER 151 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1979:22820 CAPLUS
DOCUMENT NUMBER: 90:22820
ORIGINAL REFERENCE NO.: 90:3763a,3766a
TITLE: 4-Acyloxypiperidine
INVENTOR(S): Nikles, Erwin; Karrer, Friedrich
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Ger. Offen., 25 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2805838	A1	19780831	DE 1978-2805838	19780211

DE 2805838	C2	19891207			
FR 2381754	A1	19780922	FR 1978-5071		19780222
FR 2381754	B1	19800516			
GB 1587779	A	19810408	GB 1978-7001		19780222
JP 53111077	A	19780928	JP 1978-19979		19780224
JP 01007985	B	19890210			
US 4344877	A	19820817	US 1981-224859		19810114
PRIORITY APPLN. INFO.:			CH 1977-2309	A	19770224
			US 1978-880662	A1	19780223
			US 1979-92890	A1	19791109

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 90:22820
GI



I

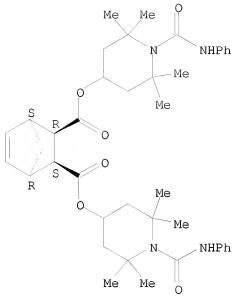
AB The piperidinol esters I (R = (substituted) C1-20 cyclo)aliphatic, aromatic, heterocyclic, or aliphatic group, (esterified) CO2H, (substituted) CONH2; R1 = H, C1-8 alkyl; R2 = (substituted) C1-30 (cyclo)aliphatic group, aralkyl, aryl; Z = 1-4-valent bicycloaliph. group; n = 1-4; m = 0-3; m + n = 1-4] were prepared for use as nondiscoloring stabilizers for synthetic materials, e.g., polyolefins, polyurethanes. Thus, the Diels-Alder adduct of cyclopentadiene and di-Me maleate reacted with LiNH2 and 1-benzyl-2,2,6,6-tetramethyl-1-piperidinol in xylene solution to give I (R = PhCH2, R1 = H, Z = bicyclo[2.2.1]hept-5-ene-2,3-diyl, n = 2, m = 0; isomeric mixture).

IT 68548-28-7P 68548-29-8P 68548-30-1P
68548-31-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 68548-28-7 CAPLUS

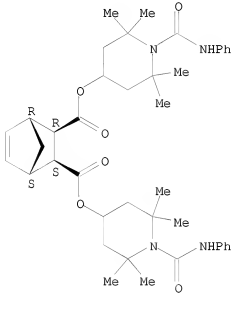
CN Bicyclo[2.2.1]hept-5-ene-2,3-dicarboxylic acid, bis[2,2,6,6-tetramethyl-1-[(phenylamino)carbonyl]-4-piperidinyl] ester, (endo,endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



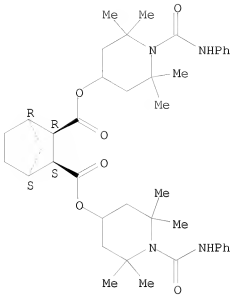
RN 68548-29-8 CAPLUS
CN Bicyclo[2.2.1]hept-5-ene-2,3-dicarboxylic acid,
bis[2,2,6,6-tetramethyl-1-[(phenylamino)carbonyl]-4-piperidinyl] ester,
(exo,exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



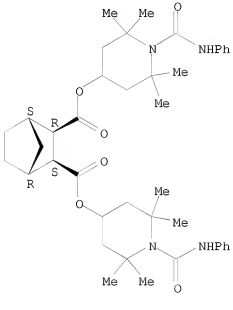
RN 68548-30-1 CAPLUS
CN Bicyclo[2.2.1]heptane-2,3-dicarboxylic acid,
bis[2,2,6,6-tetramethyl-1-[(phenylamino)carbonyl]-4-piperidinyl] ester,
(endo,endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 68548-31-2 CAPLUS
CN Bicyclo[2.2.1]heptane-2,3-dicarboxylic acid, bis[2,2,6,6-tetramethyl-1-[(phenylamino)carbonyl]-4-piperidinyl] ester, (exo,exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

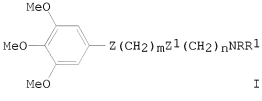
L4 ANSWER 152 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1978:597599 CAPLUS
DOCUMENT NUMBER: 89:197599
ORIGINAL REFERENCE NO.: 89:30723a,30726a
TITLE: Amide derivatives of 3,4,5-trimethoxybenzene

INVENTOR(S):	Joullie, Maurice; Maillard, Gabriel; Warolin, Christian Jean Marie; Lakah, Lucien
PATENT ASSIGNEE(S):	METABIO, Fr.
SOURCE:	Ger. Offen., 36 pp. CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2801187	A1	19780720	DE 1978-2801187	19780112
PRIORITY APPLN. INFO.:			GB 1977-16055 A	19770114

GI



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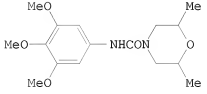


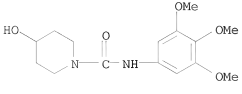
II

AB Sixty-six title compds. I [NRR1 = (un)substituted alkyl- or alkenylamino, cycloalkylamino, aralkylamino, tetrahydrofurfurylamino, pyrrolidino, piperidino, homopiperidino, isoxazolidinyl, morpholino, thiamorpholino, piperazino, tetrahydroquinolyl- or -isoquinolyl, tetrahydrobenzoxazinyl, tetrahydropyranylmethylamino; Z = O, NR2 (R2 = H, PhCH2, morpholinoethyl); Z1 = CO, CONH, CO2, SO2; m, n = 0, 1, 2], useful as tranquilizers, anticonvulsants, or sedative potentiators (data tabulated), were prepared by 9 methods. Thus, 2,6-dimethylmorpholine was added to a stirred solution of 3,4,5-(MeO)3C6H2NCO in ether and the mixture refluxed with stirring 7 h to give 79% carbamoylmorpholine II.

IT 68060-95-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

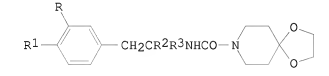
RN 68060-95-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-hydroxy-N-(3,4,5-trimethoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L4 ANSWER 153 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1978:74324 CAPLUS
DOCUMENT NUMBER: 88:74324
ORIGINAL REFERENCE NO.: 88:11741a,11744a
TITLE: Psychoactive agents. IV. Synthesis and CNS depressant activity of some β-arylethyl- and β-styrylureas
AUTHOR(S): Arya, V. P.; David, J.; Grewal, R. S.
CORPORATE SOURCE: Ciba-Geigy Res. Cent., Bombay, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15B(7), 635-40
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 88:74324
GI



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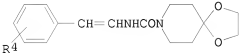
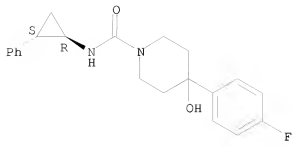


II

III

AB Treatment of 3,4-RR1C6H3CH2CR2R3NH2 (R = H, MeO; R1 = H, MeO, Cl, F; R2, R3 = H, Me) with COCl2 gave 3,4-RR1C6H3CH2CR2R3NCO, which reacted with 8-aza-1,4-dioxaspiro[4.5]decane to give the ureas I. Styrylureas II (R4 = H, Cl, F) and (phenylcyclopropyl)ureas III [R5 = Q-Q3, 4-hydroxy-4-(4-fluorophenyl)piperidino, (hexahydroazepin-1-yl)amino, ClCH2CH2CH2NH] were prepared similarly. (Arylethyl)ureas were prepared from 9-aza-3,3-dimethyl-1,5-dioxaspiro[5.5]undecane, 9-aza-1,4-dioxaspiro[4.5]decane, 1-azaspiro[4.5]decane and 3-azaspiro[5.5]undecane. The central nervous system (CNS) depressant and anticonvulsant activity of these compds. were reported.
IT 65535-75-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 65535-75-3 CAPLUS
CN 1-Piperidinecarboxamide, 4-(4-fluorophenyl)-4-hydroxy-N-(2-phenylcyclopropyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 154 OF 154 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1961:59492 CAPLUS
DOCUMENT NUMBER: 55:59492
ORIGINAL REFERENCE NO.: 55:11409a-i,11410a-i,11411a-b
TITLE: 4-Hydroxypipecolic acid from Acacia species, and its stereoisomers
AUTHOR(S): Clark-Lewis, J. W.; Mortimer, P. I.
CORPORATE SOURCE: Univ. Adelaide, S. Australia
SOURCE: Journal of the Chemical Society (1961) 189-201
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The title compound was isolated on a preparative scale from Acacia oswaldii leaves and separated from the accompanying acids through the Et2O soluble N-nitroso derivative (I). Hydrolysis of I and separation on an ion exchange column gave (-)-pipecolic acid (II) and the hydroxy acid, which was shown by unequivocal degradations to be (-)-trans-4-hydroxy-L-pipecolic acid (III). III was converted by stereospecific transformations into cis-4-hydroxy-L-(IV) and -D-pipecolic acid (V), so that 3 of the 4 optically active forms of 4-hydroxypipecolic acid were now available. A. oswaldii leaves (5.5 g.) extracted with alc. and chromatographed on sulfonated polystyrene gave 95 g. amino acids. The imino acids were extracted into Et2O as the N-nitroso derivs. The imino acids (46 g.) dissolved in 58 cc. refluxing H2O, the solution diluted with alc., and cooled gave 4-hydroxypipecolic acid. Purification gave 23 g. III, m. 285-6° (decomposition); II was obtained as the HCl salt, m. 256-8° (6.5 g. from 17.3 kg. leaves), [α]18D -10.5° (c 8, H2O). Separation of II and III was also achieved by selective elution from Zeo-Karb 225; III was eluted with 0.02-0.4N HCl, and II (and proline) with 0.4-0.8N acid. The mother liquors from III from 20 kg. leaves treated this way, and the column finally washed with 1.6N HCl gave 1.66 g. compound, m. 231-4° (decomposition), [α]24D 15° (c 1, H2O). Milled heartwood of A. excelsa (2094 g.) similarly worked up gave 4 g. III and 0.35 g. II. Similar extns. of other samples of A. excelsa heartwood gave 0.017-0.08% III and 0.001-0.01% II. III (0.01-0.03%) was also obtained from A. mollissima heartwood and sapwood. III isolated as described above was obtained as prisms, m. 294° (decomposition) (alc.), [α]20D -13° (c 1, H2O). III did not react with HIO4; the 1-(2,4-dinitrophenyl) derivative formed prisms, m. 183°; Cu salt, blue prisms, m. 229° (decomposition). III on paper chromatograms sprayed with ninhydrin and heated 5-10 min. at 100-10° gave a greyish green to brownish purple color. III 1-benzoyl derivative obtained in 60-70% yield m. 174°, [α]15D -54° (c 1, alc.). Benzoylation of III with excess BzCl did not yield the dibenzoate. Heating the 1-benzoyl derivative of III caused epimerization at the 2-C atom. p-MeC6H4SO2Cl (0.95

g.) in Me2CO with 0.58 g. III gave 0.7 g.
(-)-trans-4-hydroxy-1-p-toluenesulfonyl-L-pipecolic acid, m. 162°
(EtOAc-C6H6), [α]19D -16° (c 1, alc.). PhNCO (0.6 g.) was
added slowly during 10 min. to 0.58 g. III in 4 cc. N NaOH, diphenylurea
precipitated, and the solution acidified to give 0.48 g.
(-)-trans-4-hydroxy-1-phenylcarbamoyl-L-pipecolic acid (VI), m.
181-97°, [α]26D -24.5° (c 1, alc.). VI (1.49 g.) in
refluxing H2O gave 1.05 g. (-)-trans-4'-hydroxy-3-
phenylpiperidino[1',2':1,5] hydantoin (VII), prisms, m. 204-5°,
[α]23D -53° (c 1, alc.). VII (0.61 g.) dissolved in 4.63 cc.
N NaOH and the solution diluted gave [α]D -17°, [α]D
-40° (after 3 hrs.) and [α]D -45.4° after 24 hrs. III
(0.725 g.) in 25 cc. 50% aqueous C5H5N adjusted to pH 10 with 1.4 cc. N NaOH,
1.2 cc. phenylisothiocyanate added, the mixture shaken, extracted with C6H6,
the
aqueous layer acidified, and the solid collected gave 0.56 g.
(-)-trans-3-phenyl-4'-phenylthiocarbamoyloxypiperidino[1',2':1,5]-2-
thiohydantoin, m. 213-14°(alc.), [α]22D -74° (c 0.2,
alc.). III (0.051 g.), 0.023 g. red P, and 1 cc. HI heated 6 hrs. at
145° in a sealed tube gave 0.0076 g. II. III (2 g.), 0.32 g. red
P, and 20 cc. HI heated 12 hrs. at 150° in 4 sealed tubes and the
solns. combined contained II and other components. The materials separated on
Zeo-Karb gave 0.22 g. II.HCl. III (0.02 g.), 0.007 g. red P, and 0.2 HI
was heated 12 hrs. at 145°, evaporated, the residue dissolved in H2O,
and examined by paper chromatography; III was absent and the chromatogram
showed II and compds. that were apparently 4-iodopipecolic acids. In the
2nd experiment the reduction mixture treated with Ag2CO3, the solids removed,
and the
aqueous phase chromatographed showed the presence of 2-amino-4-pentenoic acid
(VIII) and baikiain (IX). VIII gave a purple color with ninhydrin at
110-15° and IX gave a gray-green color with ninhydrin and a pink
color with isatin. III (0.02 g.) was heated 9 hrs. at 145° with
0.0035 g. red P, and 0.2 cc. HI, evaporated, the residue treated in H2O with
Ag2CO3 and the Ag salts separated Half the supernatant solution was
hydrogenated
over PtO2 3 hrs. and chromatograms showed the presence of 2-aminopentanoic
acid (norvaline), II, and a minor component. III (2 g.) in 8 cc. PhAc
heated 1.5 hrs. at 190°, diluted with Et2O, and extracted with 2N HCl
gave 0.52 g. 4-hydroxypiperidine, m. 55-65°; dimorphic
1-p-toluenesulfonate, m. 114-15° or 123-4°. CrO3 (8N) in
7.5 cc. aqueous H2SO4 added to 2.18 g. III in 150 cc. AcOH, left 1.5 hrs. at
20°, MeOH added, the next day the solution decanted, the solns. from 4
such reactions evaporated, diluted, and the components separated on Zeo-Karb
gave
β-alanine and II. The oxo acid fractions were combined and evaporated to
give 1.28 g. 4-oxo-L-pipecolic acid-HCl-H2O (X), decomposing 203°,
[α]21D 3.8° (c 2, H2O). The HCl salt (0.4 g.) eluted from a
Zeo-Karb 225 column with N NH4OH gave 0.19 g. (-)-4-oxo-L-pipecolic acid,
prisms, decomposing 240°, [α]23D -14.8° (c 1, H2O).
β-Alanine fractions collected and evaporated gave 0.59 g. containing II,
converted into 0.27 g. of the phenylcarbamoyl derivs. Authentic
N-phenylcarbamoyl-β-alanine was obtained as blades, m. 173-4°
(H2O). PhNCO (0.3 g.) added during 15 min. to 0.4 g. X in 8 cc. 0.5N
NaOH, and the filtrate acidified gave
4'-oxo-3-phenylpiperidino(1',2':1,5)hydantoin (XI), m. 187°. XI
(0.1 g.) in alc. showed mutarotation after 23 hrs. XI exhibited
[α]23D -87° (c 0.366, alc.). X (2 g.) in 20 cc. H2O at pH 9
treated 1 hr. at room temperature with 0.112 g. NaBH4 and the product treated
on
Zeo-Karb 225 gave IV.H2O, plates, m. 265° (decomposition), [α]23D
-17° (c 1.1, H2O). IV.2H2O m. 265° (decomposition); Cu salt,
blue plates, m. 245° (decomposition); N-(2,4-dinitrophenyl) derivative

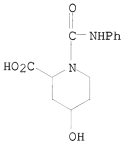
(62%), prisms, m. 134° (aqueous alc.). BzCl (0.15 g.) added portionwise to 0.163 g. IV.H2O in 3.2 cc. 0.7N NaOH, and the filtrate acidified gave, after 14 hrs. at 0°, 0.119 g. N-benzoyl derivative, blades, m. 104°, [α]23D -39.5° (c 1, alc.). The same product was obtained when 2.2 equivs. BzCl were used. Me 4-chloropicolinate (3.43 g.) in PhCH2OH treated portionwise with 1 g. Na in 30 cc. PhCH2OH, the mixture refluxed 45 min., 50 cc. H2O, 100 cc. Et2O, and 50 cc. 2N HCl added, the mixture shaken, the Et2O washed with dilute HCl, the acidic exts. combined, washed, and 50 cc. 5N NaOH added, and the mixture stored at 0° gave 3.65 g. Na 4-benzyloxypicolinate. Acidification gave 2.4 g. 4-benzyloxypicolinic acid (XII), prisms, m. 172° (alc.); 83% HCl.H2O salt, m. 162°. The HCl salt heated at 200° gave a liquid distillate consisting of PhCH2Cl and 0.15 g. 4-hydroxypicolinic acid (XIII), prisms, m. 258° (decomposition). Hydrogenation of 1 g. XII in 20 cc. 5N HCl at room temperature over PtO2 during 29 hrs. gave 0.52 g. XIII, m. 255-8°. Hydrogenation was inhibited in 1.5N NH3 but in AcOH at 65° hydrogenation gave II and III. XII (6.46 g.) in 50 cc. H2O hydrogenated 24 hrs. at 105°/70 atmospheric over 0.285 g. PtO2 and the acids isolated from the soluble mixture of 1.91 g. by paper chromatography gave after 24 hrs. bands of II and 4-hydroxypipecolic acids. The product (0.29 g.) in dilute HCl was concentrated to give 0.075 g. (±)-cis-4-hydroxypipecolic acid-HCl, prisms, m. 253-5° (decomposition). III (6 mg.) heated 9 hrs. at 145° in a sealed tube with 0.1 cc. N NaOH gave a mixture of cis and trans isomers; a trace of the epimer was similarly formed by heating in H2O alone, but not in N HCl. The epimeric mixture of imino acids formed by heating 5 mg. III in 0.3 cc. saturated aqueous Ba(OH)3 12 hrs. at 155° in a sealed tube was compared with a number of compds. III 1-benzoyl derivative (2.49 g.) heated 5 min. at 200°, refluxed 6.5 hrs. with 100 cc. 6N HCl, BzOH removed, and the aqueous layer paper chromatographed showed the presence of cis and trans-4-hydroxy acids in equal amts. III (2.9 g.) refluxed 4 hrs. with 30 cc. AcOH and 10.2 cc. Ac2O gave 1.1 g. (±)-1-acetyl-4-hydroxy-D-pipecolic lactone (XIV), plates, m. 148-9° (EtOAc), [α]24D 181° (c 1, alc.). XIV (1 g.) refluxed 3 hrs. with 50 cc. 2N HCl gave 0.74 g. V.2H2O, m. 266-9° (decomposition), [α]24D 17° (c 1, H2O). II was obtained from A. excelsa heartwood in prisms, m. 273-5° (decomposition); HCl salt, [α]22D -10.5° (c 6, H2O). N-Benzoyl-L-pipecolic acid crystallized as prisms, m. 133°, [α]22D -72° (c 1, alc.). 1-Phenylcarbamoyl-L-pipecolic acid (80%) formed prisms, m. 178°, [α]20D -39°. Recrystn. from refluxing H2O gave the optically inactive phenylhydantoin (XV), m. 159-60°. (±)-Pipecolic acid-HCl (m. 258-60°) was obtained in 91% yield by hydrogenation of 5 g. picolinic acid in 20 cc. 5N HCl over 0.2 g. PtO2 24 hrs. at 25 atmospheric/60°. This salt (0.66 g.) in 8 cc. N NaOH treated with 0.59 g. PhNCO gave 0.81 g. (±)-1-phenylcarbamoylpipecolic acid, m. 138° and 156-8°. Recrystn. after refluxing 1 hr. with H2O gave XV. Et β-ethoxycarbonylaminopropionate (38.1 g.) and 34.4 g. Et fumarate were added successively to 350 cc. C6H6 and 4.6 g. Na (the temperature rose to b.p. during 45 min.) the mixture finally refluxed 0.5 hr., diluted with Et2O, extracted with Et2O, washed, the strongly acidic solution saturated with NaCl, extracted with EtOAc, washed, dried, and the solvent evaporated gave 53.5 g. oil. The oil dissolved in 10N HCl, evaporated, and the residue refluxed 4.5 hrs. with 150 cc. alc. saturated with HCl gave 24.2 g. Et 1-ethoxycarbonyl-3-oxopyrrolidine-2-ylacetate (XVI), b0.3 122-8°; semicarbazone, m. 124°; dimorphic 2,4-dinitrophenylhydrazone, orange plates, m. 112-13°, or prisms, m. 135°. NaBH4 (0.38 g.) in 1 cc. H2O added during 10 min. at 15° to 4.86 g. XVI gave after chromatography 0.51 g. 3-hydroxypyrrolidin-2-ylacetic acid-H2O, prisms, m. 215-16° (decomposition); N-(2,4-dinitrophenyl) derivative, prisms, m. 205° (aqueous alc.). The imino acid was recovered after

treatment with HNO2. The phenylcarbamoyl derivative lost the elements of H2O to give the lactone, prisms, m. 168°. The lactone was recovered after heating 8 hrs. on a steam bath with 3N HCl.

IT 100616-43-1, Pipecolic acid, 4-hydroxy-1-phenylcarbamoyl- (stereoisomers)

RN 100616-43-1 CAPLUS

CN 2-Piperidinecarboxylic acid, 4-hydroxy-1-[(phenylamino)carbonyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

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